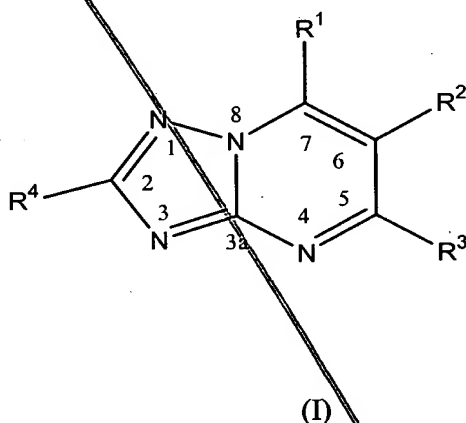


We claim:

1. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof which comprises administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.
2. The method according to Claim 1 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



wherein:

- 15  $R^1$  is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,
- 20 halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1

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to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-\text{S-aryl}$  of 6, 10 or 14 carbon atoms,  $-\text{S-alkyl}$  of 1 to 12 carbon atoms,  $-\text{S-cycloalkyl}$  of 3 to 8 carbon atoms,  $-\text{S-alkenyl}$  of 2 to 12 carbon atoms,  $-\text{SO}_2\text{aryl}$  of 6, 10 or 14 carbon atoms,  $-\text{SO}_2\text{cycloalkyl}$  of 3 to 8 carbon atoms,  $-\text{SO}_2\text{alkyl}$  of 1 to 12 carbon atoms,  $-\text{O-aryl}$  of 6, 10 or 14 carbon atoms, and the moiety  $-\text{NR}^a\text{R}^b$ ;

10  $\text{R}^a$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

25  $\text{R}^b$  is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-\text{CH}_2-$  may also

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be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl, -SO<sub>2</sub>alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R<sup>a</sup>R<sup>b</sup> together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one -CH<sub>2</sub>- may optionally be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R<sup>2</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

R<sup>3</sup> is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR<sup>c</sup>R<sup>d</sup>, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N<sub>3</sub>;

R<sup>c</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally

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substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

10  $\text{R}^d$  is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

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$\text{R}^c\text{R}^d$  together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or alkyl of 1 to 12 carbon atoms;

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$\text{R}^4$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon

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atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or -CF<sub>3</sub>;

provided that when: a) R<sup>1</sup> is diethylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R<sup>1</sup> is diethylamino, R<sup>3</sup> is bromo, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 4-trifluoromethylphenyl; c) R<sup>1</sup> is isopropylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R<sup>1</sup> is cyclopentylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R<sup>1</sup> is 2-amino-bicyclo(2.2.1.)heptyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 3,4,5-trimethoxyphenyl and f) R<sup>1</sup> is diethylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 4-trifluoromethylphenyl and g) R<sup>1</sup> is 1,1,1-trifluoroethoxy, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-chloro-6-fluorophenyl h) R<sup>1</sup> is -SO<sub>2</sub>ethyl or -SO<sub>2</sub>cyclopentyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-chloro-6-fluorophenyl; i) R<sup>4</sup> is hydrogen, R<sup>2</sup> is 2-chloro-6-fluorophenyl, R<sup>1</sup> and R<sup>3</sup> are not 1,2,4-triazole; j) R<sup>1</sup> is cyclohexyl, R<sup>4</sup> is hydrogen, R<sup>2</sup> is 2,4,6-trifluorophenyl, and R<sup>3</sup> is not -OCH<sub>2</sub>O<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>; k) R<sup>1</sup> is 2-thienyl, R<sup>4</sup> is ethyl, R<sup>3</sup> is hydrogen and R<sup>2</sup> is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R<sup>2</sup> is phenyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen R<sup>1</sup> is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof.

3. The method according to claim 2 wherein R<sup>1</sup> is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon

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atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-S$ -aryl of 6, 10 or 14 carbon atoms,  $-S$ -alkyl of 1 to 12 carbon atoms,  $-S$ -alkenyl of 2 to 12 carbon atoms,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl of 3 to 8 carbon atoms,   
5  $-SO_2$ alkyl of 1 to 12 carbon atoms,  $-O$ -aryl of 6, 10 or 14 carbon atoms, and the moiety  $-NR^aR^b$  or a pharmaceutically acceptable salt thereof is administered.

10 4. The method according to claim 2 wherein  $R^a$  and  $R^b$  each independently represent the moiety  $-C^*H(R^e)(R^f)$  where  $R^e$  and  $R^f$  independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where  $C^*$  represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.

15 5. The method according to claim 2 wherein  $R^2$  is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or halogen or a pharmaceutically acceptable salt thereof is administered.

20 6. The method according to claim 2 wherein  $R^3$  is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy,  $-NR^cR^d$ , benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or  $-N_3$  or a pharmaceutically acceptable salt thereof is administered.

25 7. The method according to claim 2 wherein  $R^4$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms,  $-CF_3$  or a pharmaceutically acceptable salt thereof is   
30 administered.

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8. The method according to claim 2 wherein R<sup>1</sup> is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

9. The method according to claim 2 wherein R<sup>2</sup> is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocyclyl or a pharmaceutically acceptable salt thereof is administered.

10. The method according to claim 2 wherein R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N<sub>3</sub> or a pharmaceutically acceptable salt thereof is administered.

11. The method according to claim 2 wherein R<sup>4</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF<sub>3</sub> or a pharmaceutically acceptable salt thereof is administered.

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12. The method according to claim 2 wherein  $R^1$  is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-S$ -aryl of 6, 10 or 14 carbon atoms,  $-S$ -alkyl of 1 to 12 carbon atoms,  $-S$ -alkenyl of 2 to 12 carbon atoms,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl of 5 to 10 carbon atoms,  $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

13. The method according to claim 2 wherein  $R^2$  is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

14. The method according to claim 2 wherein  $R^3$  is halogen, alkoxy of 1 to 12 carbon atoms,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$  or a pharmaceutically acceptable salt thereof is administered.

15. The method according to claim 2 wherein  $R^4$  is H or a pharmaceutically acceptable salt thereof is administered.

16. The method according to claim 2 wherein  $R^1$  is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ ,

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or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached; R<sup>2</sup> is optionally substituted phenyl; R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>; R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

17. The method according to claim 2 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached; R<sup>2</sup> is optionally substituted phenyl; R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>; R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

18. The method according to claim 2 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached;  
R<sup>2</sup> is optionally substituted phenyl;  
R<sup>3</sup> is halogen, alkoxy, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>;  
R<sup>4</sup> is H;

R<sup>a</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12

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carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R<sup>b</sup> is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms; R<sup>a</sup>R<sup>b</sup> together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 2 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R<sup>c</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

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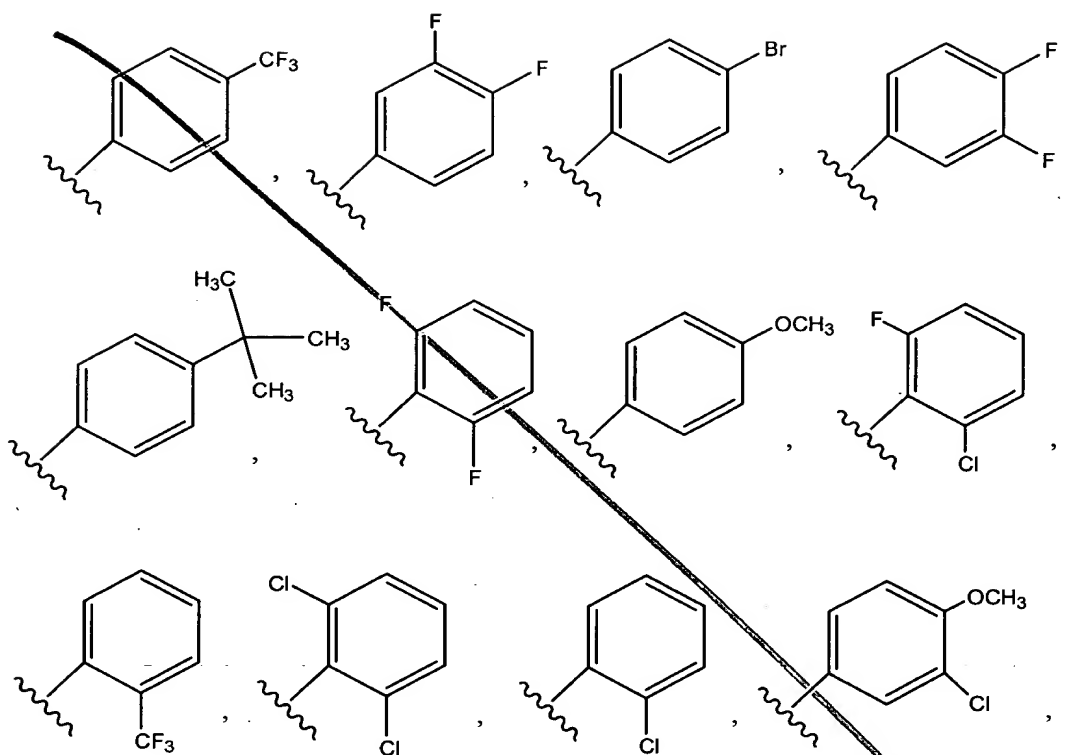
5 R<sup>d</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;  
10 R<sup>c</sup>R<sup>d</sup> together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

15 19. The method according to claim 2 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached;

20 R<sup>2</sup> is selected from

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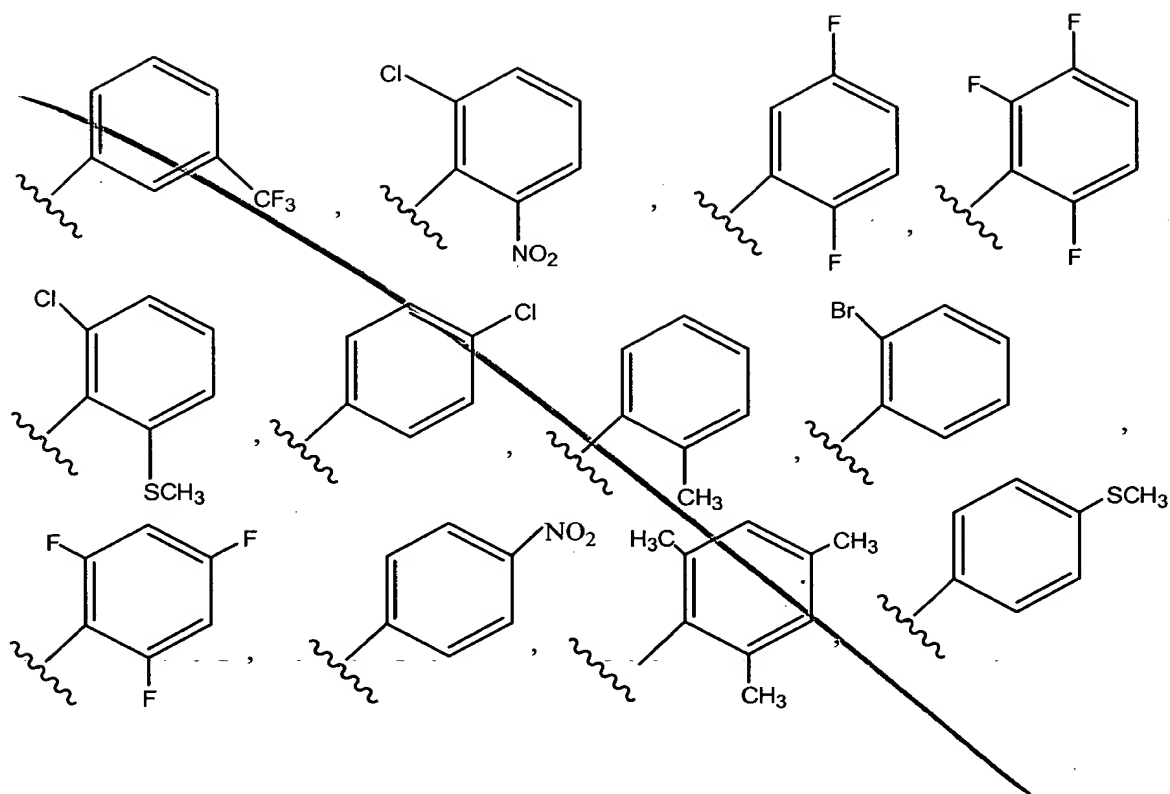
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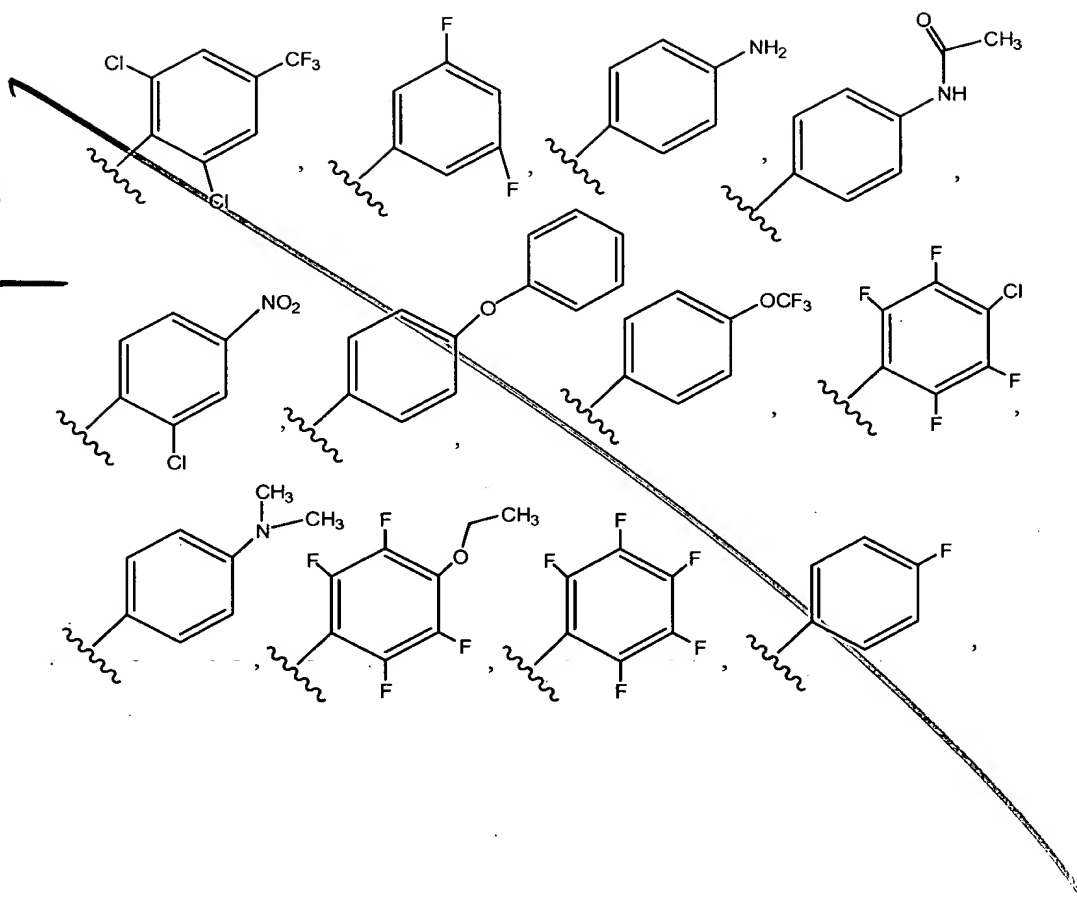
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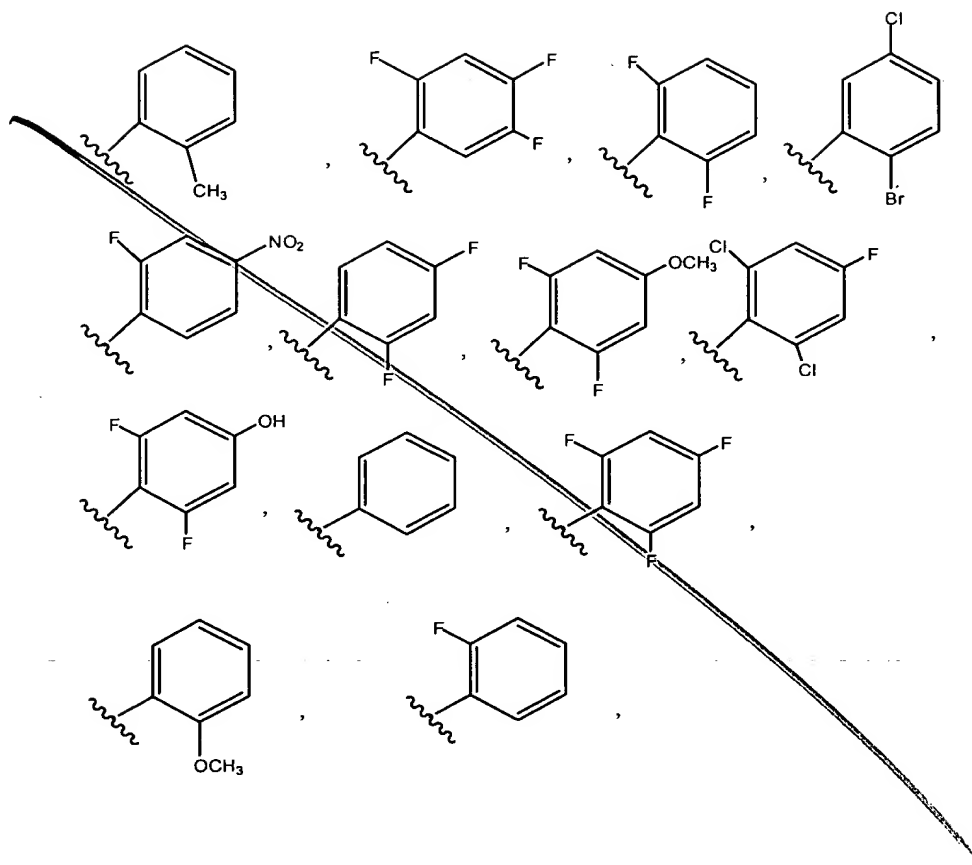
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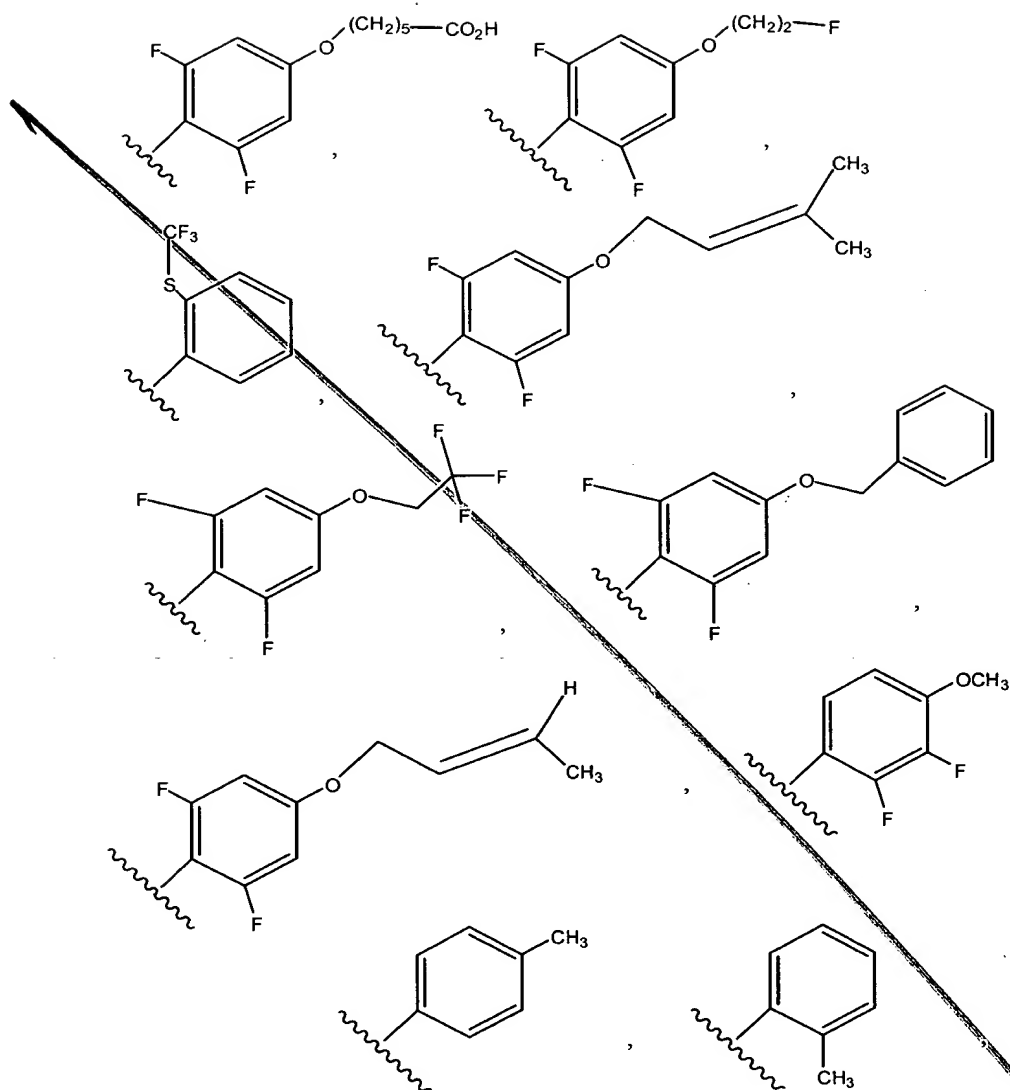


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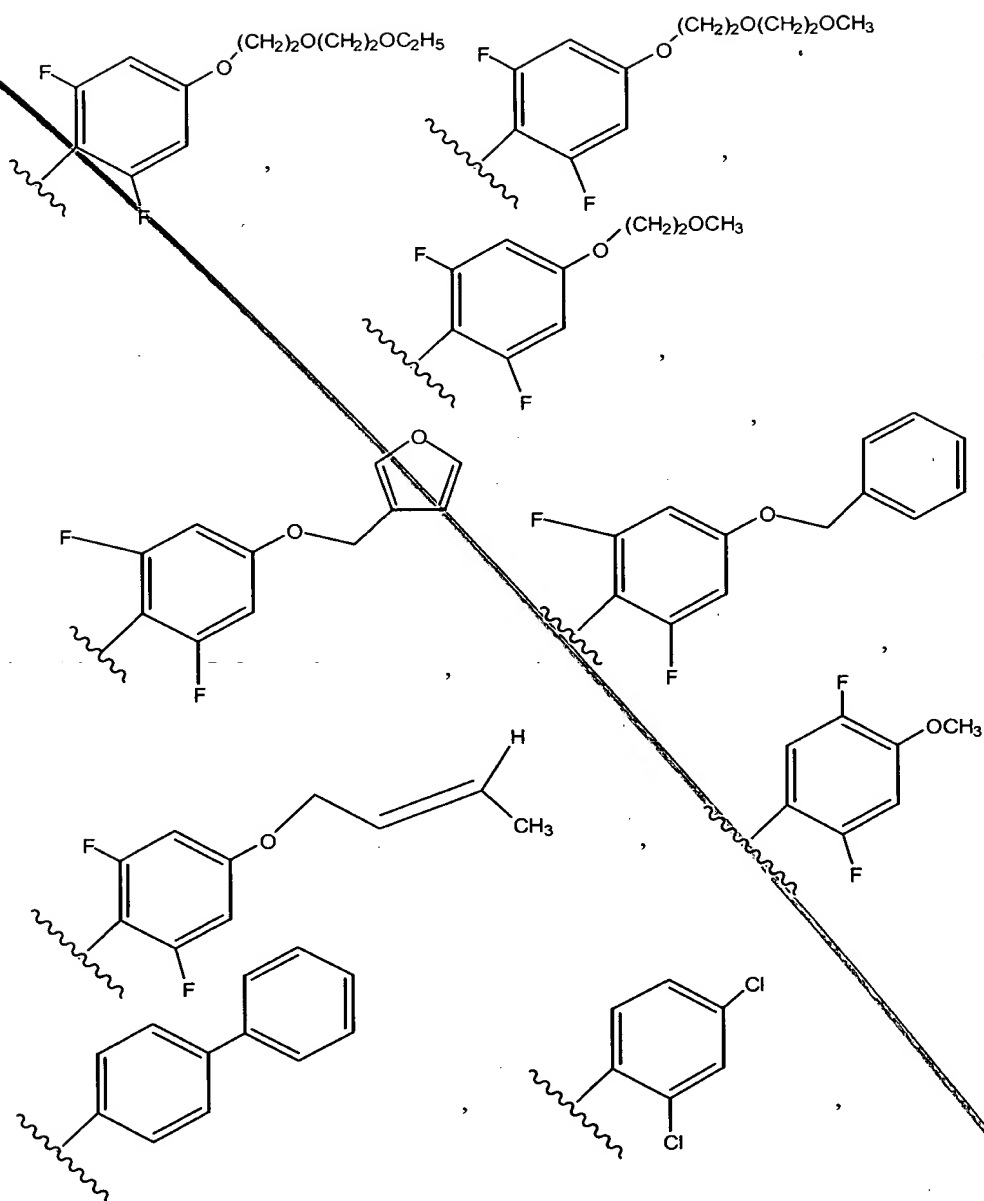


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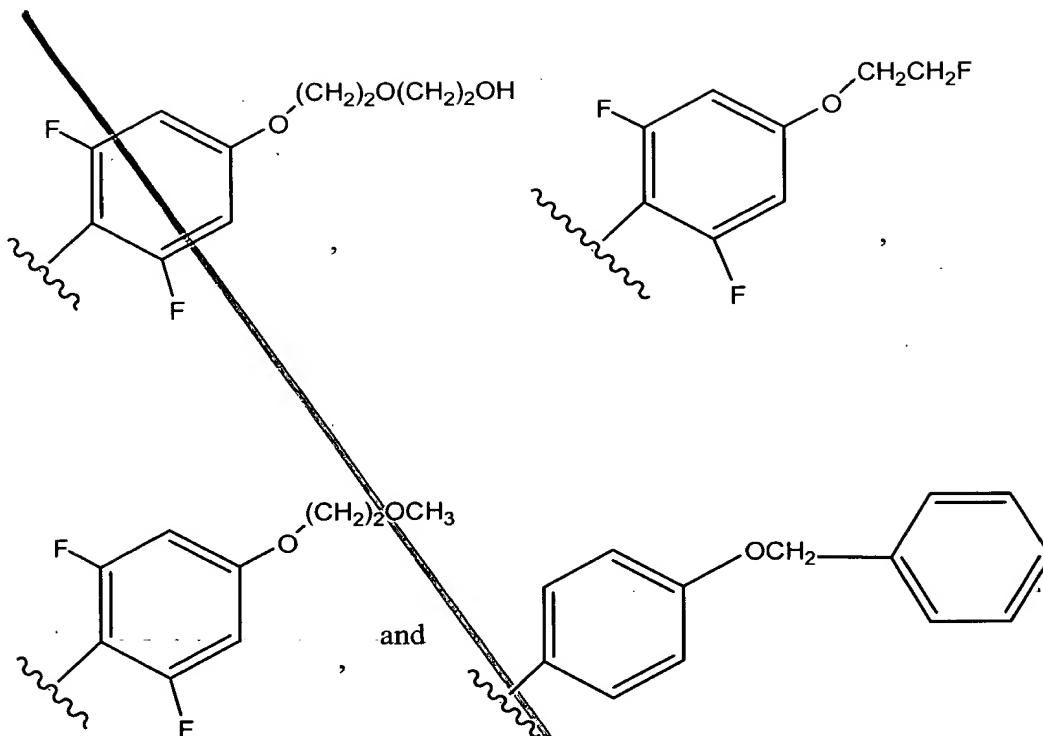


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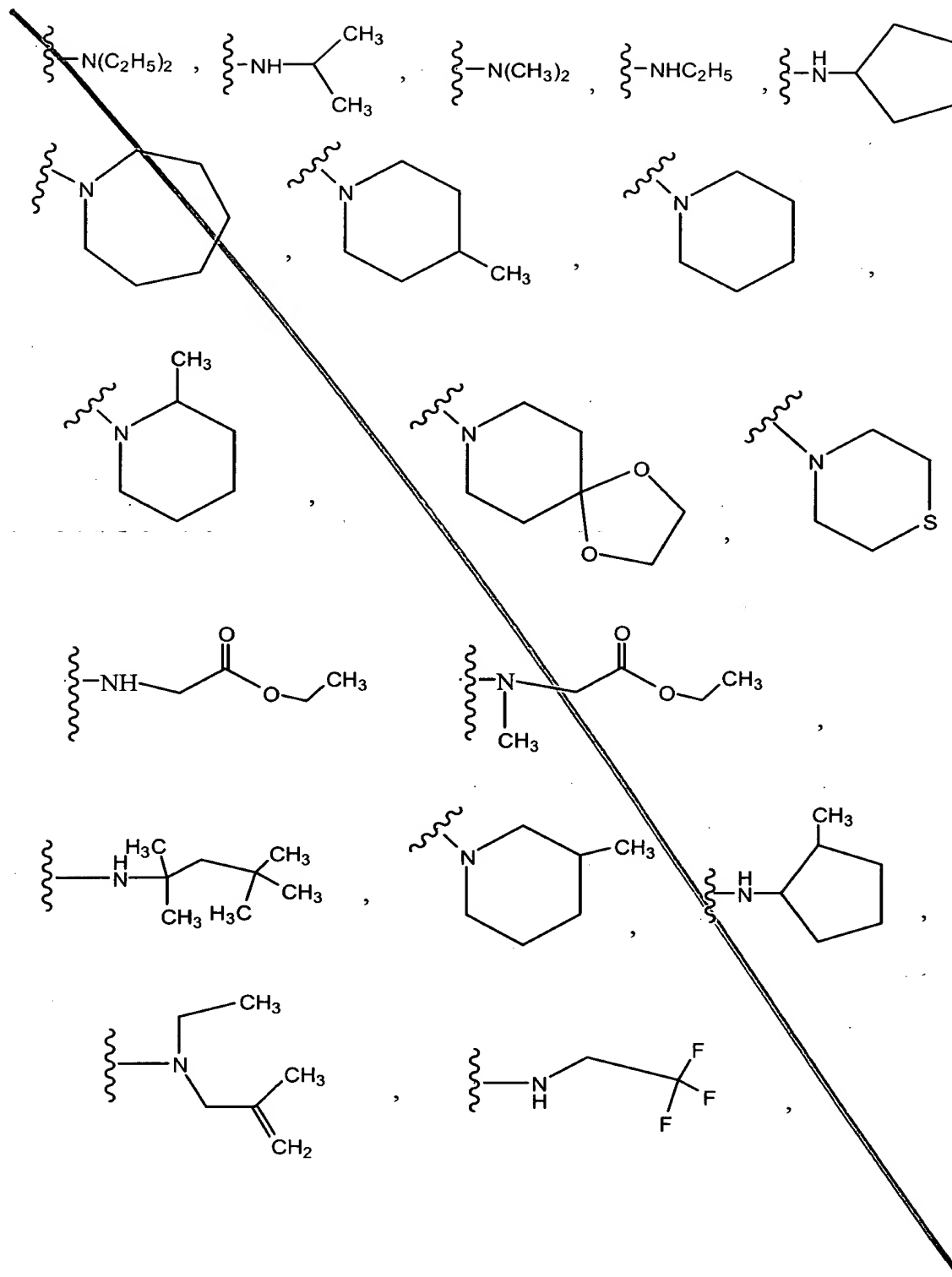
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- 5  $R^3$  is halogen, alkoxy,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$ ;  
 $R^4$  is H or a pharmaceutically acceptable salt thereof is administered.
20. The method according to claim 2 wherein  $R^1$  is the moiety  $-NR^aR^b$   
 10 wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached and wherein  $R^1$  is selected from

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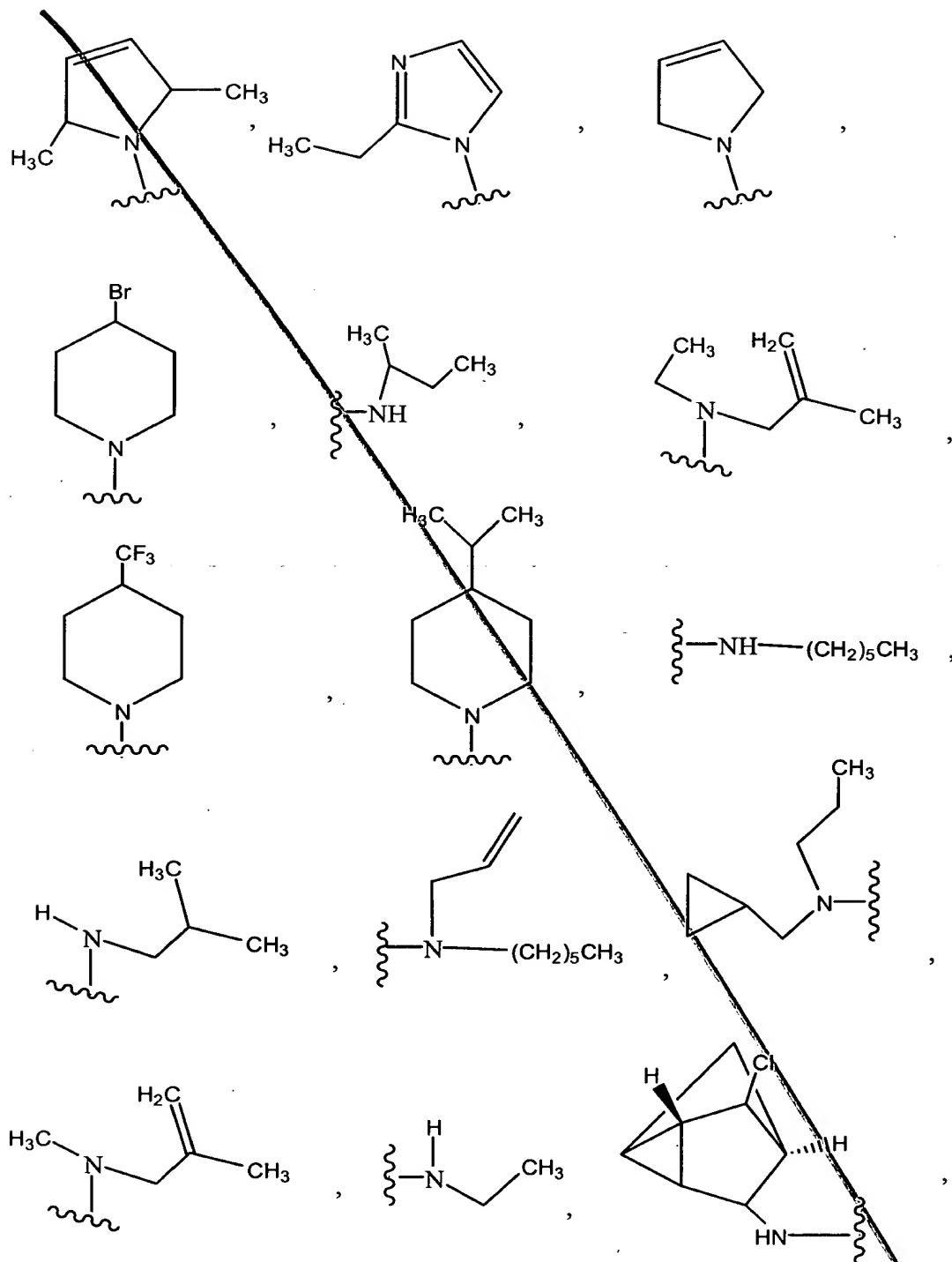


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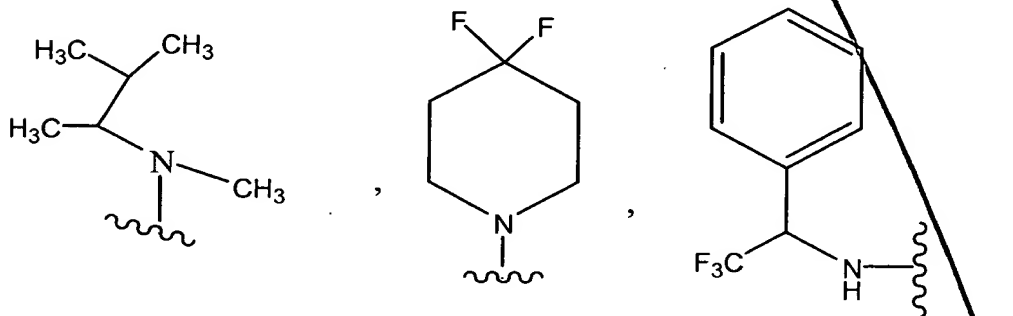
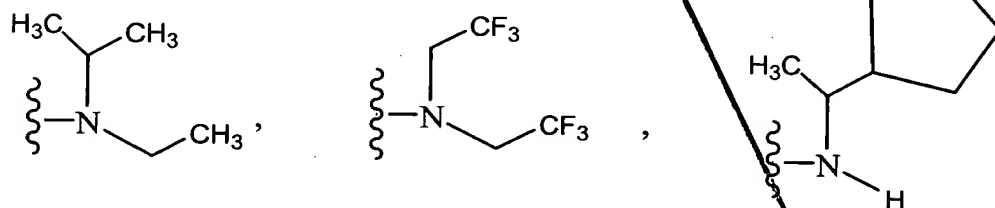
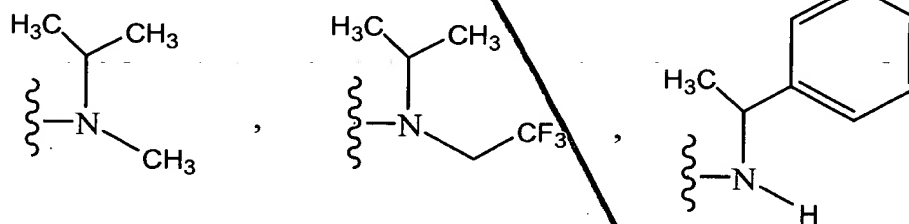
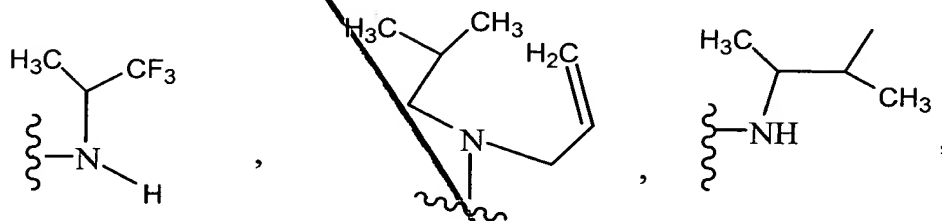
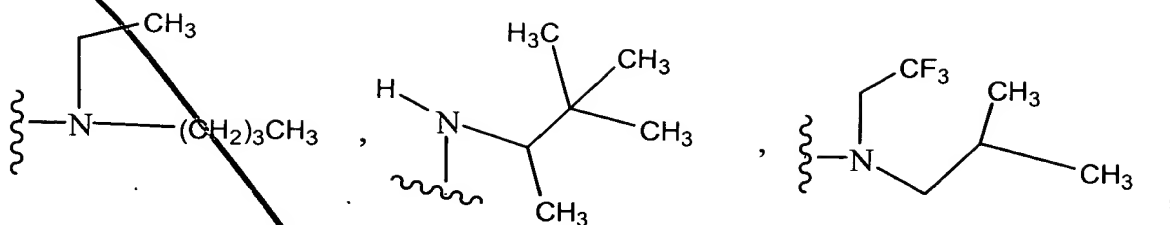


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A3  
Cont



Q3  
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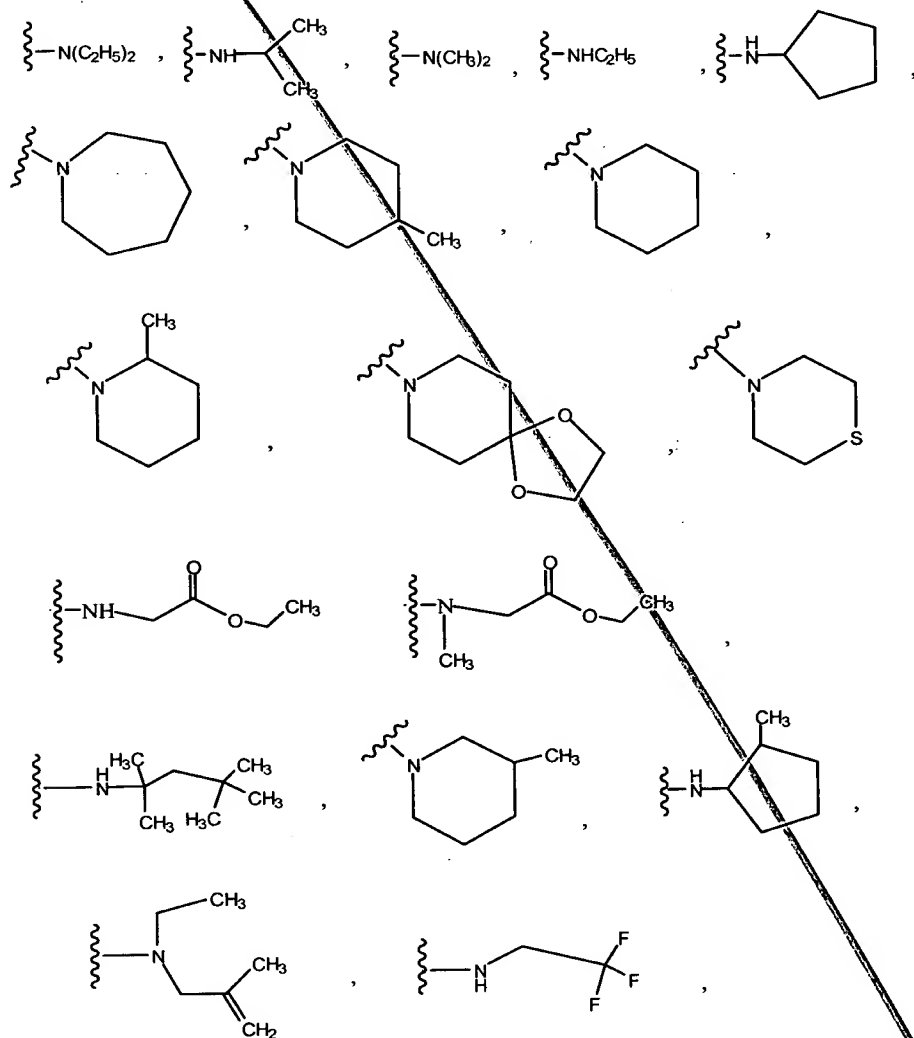
5

$R^2$  is optionally substituted phenyl;

$R^3$  is halogen, alkoxy of 1 to 12 carbon atoms,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$ ;

$R^4$  is H or a pharmaceutically acceptable salt thereof is administered.

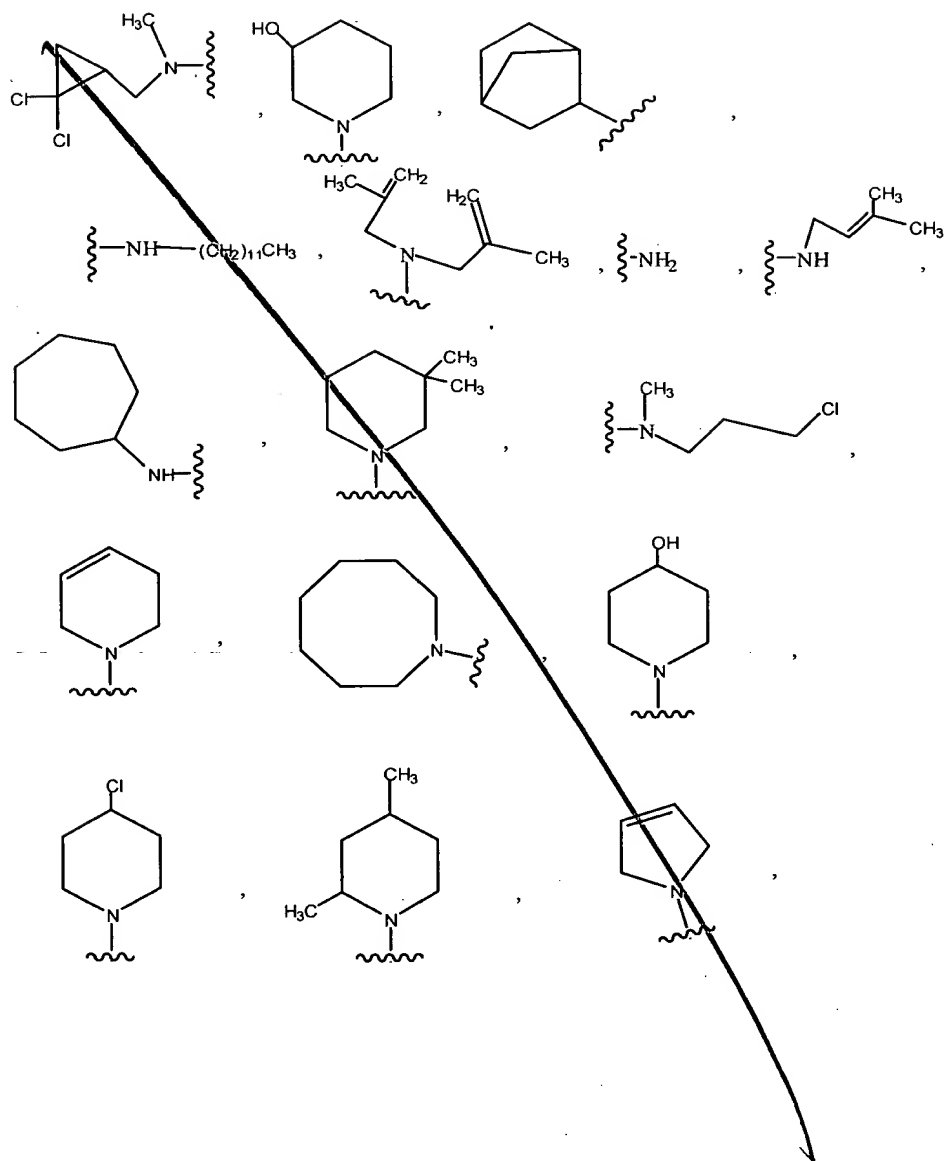
21. The method according to claim 2 wherein  $R^1$  is the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached and wherein  $R^1$  is selected from



10

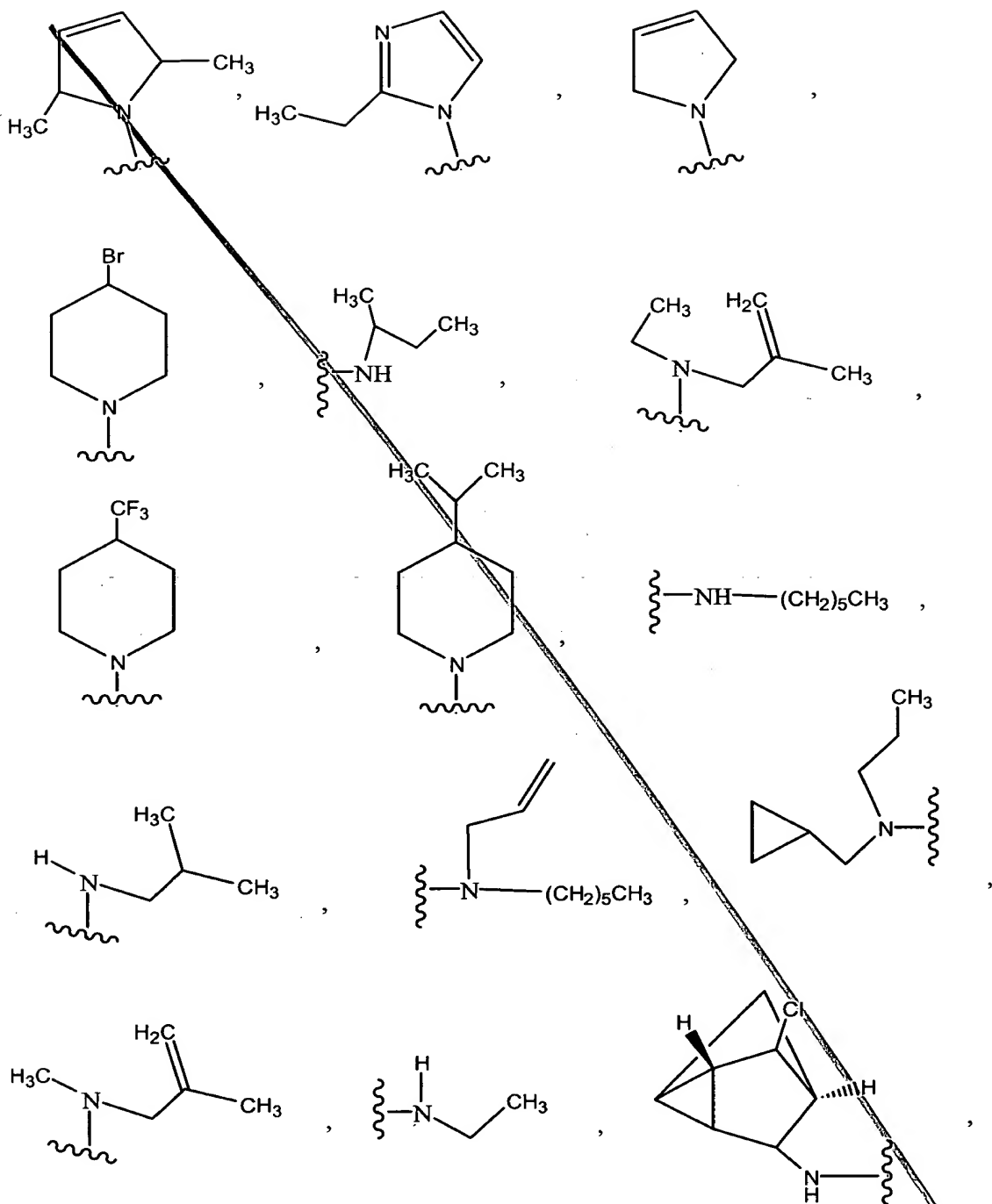
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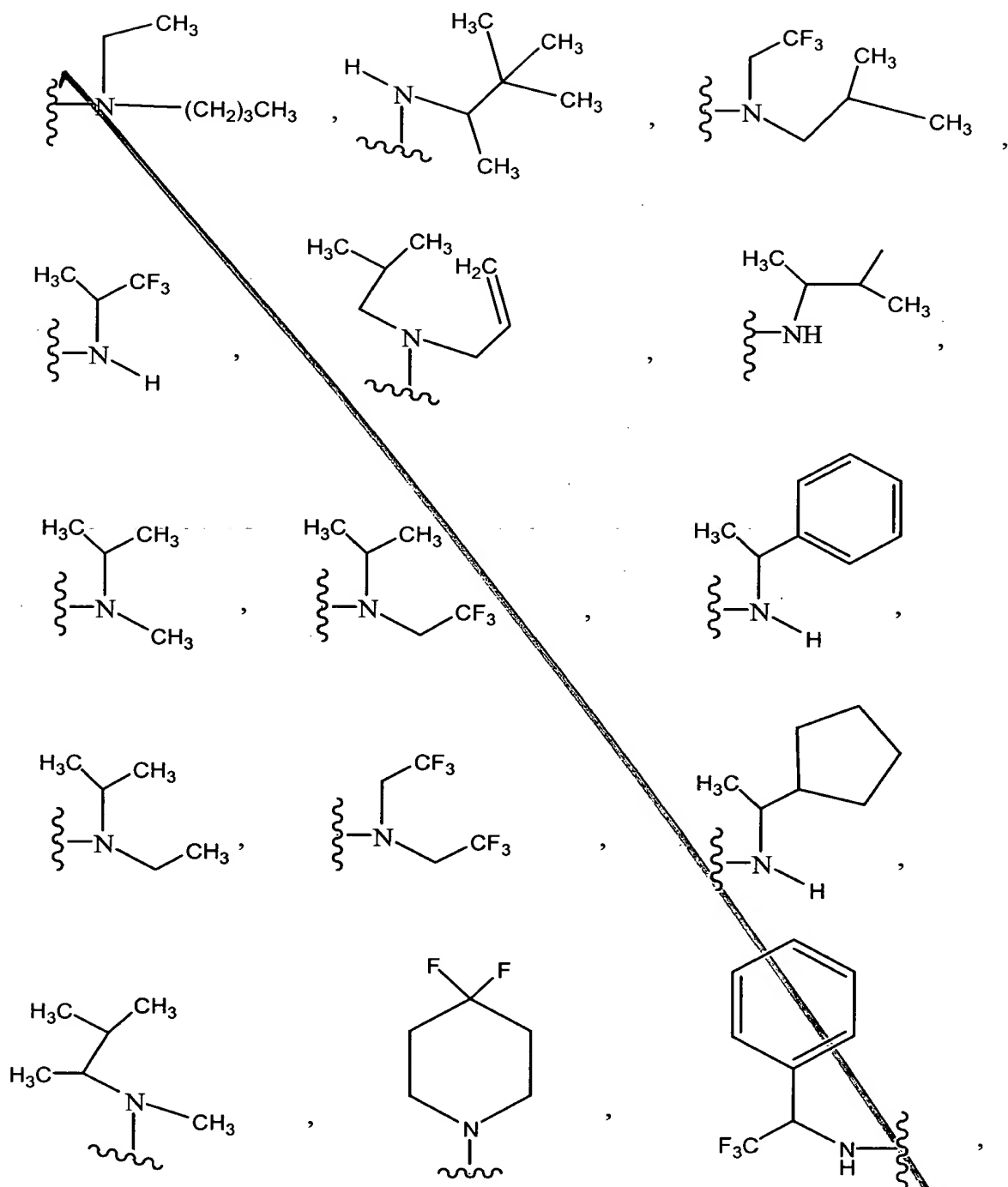


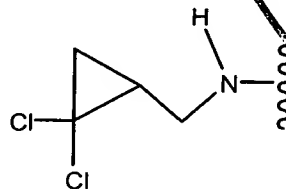
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Q<sup>3</sup>  
Cont



03  
cont



[illegible]



A3  
cont 5

R<sup>2</sup> is optionally substituted thienyl;

R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>;

R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

22. The method according to claim 2 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methylamino)acetate;

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Q3  
cont

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5

7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;  
5-chloro-7-(1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10

6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15

5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20

5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

30

7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

7-(azepanyl)-5-chloro-6-(2-chloro-6-nitrophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

Q3  
cont

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

Q3  
cont  
5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-  
pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-  
piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-  
yl]methanol;

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-  
piperidinol;

15 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-  
a]pyrimidine;

20 5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-  
a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-  
piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-  
fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-  
yl)[1,2,4]triazolo[1,5-a]pyrimidine;

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Q3  
cont

- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-chloro-1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidiny)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-isopropyl-1-piperidiny)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2,4-dimethyl-1-piperidiny)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

**第 6 章 数据库系统**

~~5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

~~5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

5-chloro-7-(4-methyl-1-piperidiny)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;



Q3  
cont

5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

30 4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;

A<sup>3</sup>  
cont

- N-[4-[5-chloro-7-(4-methyl-1-piperidiny)] [1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;
- 5 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)] [1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;
- 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidiny)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-7-(4-methyl-1-piperidiny)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-7-(2-methyl-1-piperidiny)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-6-[2-chloro-4-nitrophenyl]-7-(4-methyl-1-piperidiny)] [1,2,4]triazolo[1,5-a]pyrimidine;

~~5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

5 4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;

6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10

~~5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;~~

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-  
15 a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-  
a]pyrimidine;

5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-  
30 7-yl]butyl acetate;

a<sup>3</sup>

- diethyl 2-allyl-2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;
- 6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin- 7-amine;
- N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(4-fluorophenyl)-N-(1,2,2- trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

~~5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-  
a]pyrimidin-7-amine;

5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-(2-fluoro-4-nitrophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-fluoro-4-nitrophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

15 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

20 5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

25 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

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5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;
- 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;
- 2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;
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- 7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl)-3,5-difluoro-phenol;
- 10 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;
- 5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 20 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-(2,2,2-trifluoro-1-methylethyl)amine;
- 25 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
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5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

10 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-(methylsulfanyl)-7-(4-methyl-1-piperidiny)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1,4-dioxa-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)-[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

diethyl 2-{{5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}oxy}-2-isobutylmalonate;



C<sup>3</sup>  
cont

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-1-diethyl-1,4-pentanediamine;

30 5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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cont  
5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-N-(3-tricyclo[2.2.1.0<sup>2,6</sup>]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

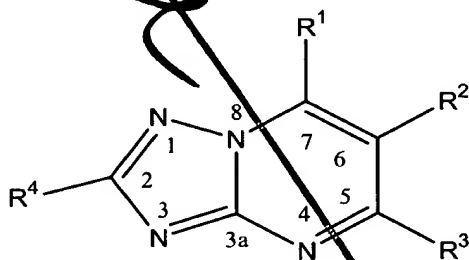
5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;

5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

23. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by interacting with tubulin and microtubules and promoting microtubule polymerization which comprises administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.

24. The method according to Claim 23 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



(I)

wherein:

R<sup>1</sup> is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup>;

R<sup>a</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

$R^b$  is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-S$ -aryl of 6, 10 or 14 carbon atoms,  $-S$ -alkyl,  $-S$ -alkenyl,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl,  $-SO_2$ alkyl,  $-O$ -aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

$R^a R^b$  together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one  $-CH_2-$  may optionally be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

$R^2$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

$R^3$  is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy,  $-NR^c R^d$ , benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon



substituted in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or alkyl of 1 to 12 carbon atoms;

$\text{R}^4$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or  $-\text{CF}_3$ ;

provided that when: a)  $\text{R}^1$  is diethylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b)  $\text{R}^1$  is diethylamino,  $\text{R}^3$  is bromo,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 4-trifluoromethylphenyl; c)  $\text{R}^1$  is isopropylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d)  $\text{R}^1$  is cyclopentylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e)  $\text{R}^1$  is 2-amino-bicyclo(2.2.1.)heptyl,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 3,4,5-trimethoxyphenyl and f)  $\text{R}^1$  is diethylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 4-trifluoromethylphenyl and g)  $\text{R}^1$  is 1,1,1-trifluoroethoxy,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 2-chloro-6-fluorophenyl h)  $\text{R}^1$  is  $-\text{SO}_2$ ethyl or  $-\text{SO}_2$ cyclopentyl,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 2-chloro-6-fluorophenyl; i)  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is 2-chloro-6-fluorophenyl,  $\text{R}^1$  and  $\text{R}^3$  are not 1,2,4-triazole; j)  $\text{R}^1$  is cyclohexyl,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is 2,4,6-trifluorophenyl, and  $\text{R}^3$  is not  $-\text{OCH}_2\text{O}_2\text{C}(\text{CH}_3)_3$ ; k)  $\text{R}^1$  is 2-thienyl,  $\text{R}^4$  is ethyl,  $\text{R}^3$  is hydrogen and  $\text{R}^2$  is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l)  $\text{R}^2$  is phenyl,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen  $\text{R}^1$  is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof.

25. The method according to claim 24 wherein

1 R<sup>1</sup> is selected from the group consisting of an optionally substituted alkyl of 1  
to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms,  
optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted  
alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14  
5 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms,  
optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>-  
may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1  
to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon  
atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where  
10 R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon  
atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms,  
-SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms,  
-SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and  
the moiety -NR<sup>a</sup>R<sup>b</sup> or a pharmaceutically acceptable salt thereof is  
15 administered.

26. The method according to claim 24 wherein R<sup>a</sup> and R<sup>b</sup> each independently  
represent the moiety -C\*H(R<sup>e</sup>)(R<sup>f</sup>) where R<sup>e</sup> and R<sup>f</sup> independently represent  
an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C\*  
20 represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof  
is administered.

27. The method according to claim 24 wherein R<sup>2</sup> is optionally substituted aryl  
of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or  
25 halogen or a pharmaceutically acceptable salt thereof is administered.

28. The method according to claim 24 wherein R<sup>3</sup> is halogen, alkyl of 1 to 12  
carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR<sup>c</sup>R<sup>d</sup>, benzyloxy,  
aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon  
30 atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms,



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dialkylamino of 1 to 12 carbon atoms, or -N<sub>3</sub> or a pharmaceutically acceptable salt thereof is administered.

29. The method according to claim 24 wherein R<sup>4</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF<sub>3</sub> or a pharmaceutically acceptable salt thereof is administered.

30. The method according to claim 24 wherein R<sup>1</sup> is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

31. The method according to claim 24 wherein R<sup>2</sup> is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocyclyl or a pharmaceutically acceptable salt thereof is administered.

32. The method according to claim 24 wherein  $R^3$  is halogen, alkoxy of 1 to 12 carbon atoms,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or  $-N_3$  or a pharmaceutically acceptable salt thereof is administered.

33. The method according to claim 24 wherein  $R^4$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms,  $-CF_3$  or a pharmaceutically acceptable salt thereof is administered.

34. The method according to claim 24 wherein  $R^1$  is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-S$ -aryl of 6, 10 or 14 carbon atoms,  $-S$ -alkyl of 1 to 12 carbon atoms,  $-S$ -alkenyl of 2 to 12 carbon atoms,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl of 5 to 10 carbon atoms,  $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

35. The method according to claim 24 wherein  $R^2$  is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

36. The method according to claim 24 wherein  $R^3$  is halogen, alkoxy of 1 to 12 carbon atoms,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1

to 12 carbon atoms, cyano, or -N<sub>3</sub> or a pharmaceutically acceptable salt thereof is administered.

37. The method according to claim 24 wherein R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

38. The method according to claim 24 wherein R<sup>1</sup> is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached; R<sup>2</sup> is optionally substituted phenyl; R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>; R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

39. The method according to claim 24 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached; R<sup>2</sup> is optionally substituted phenyl; R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>; R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

40. The method according to claim 24 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached;



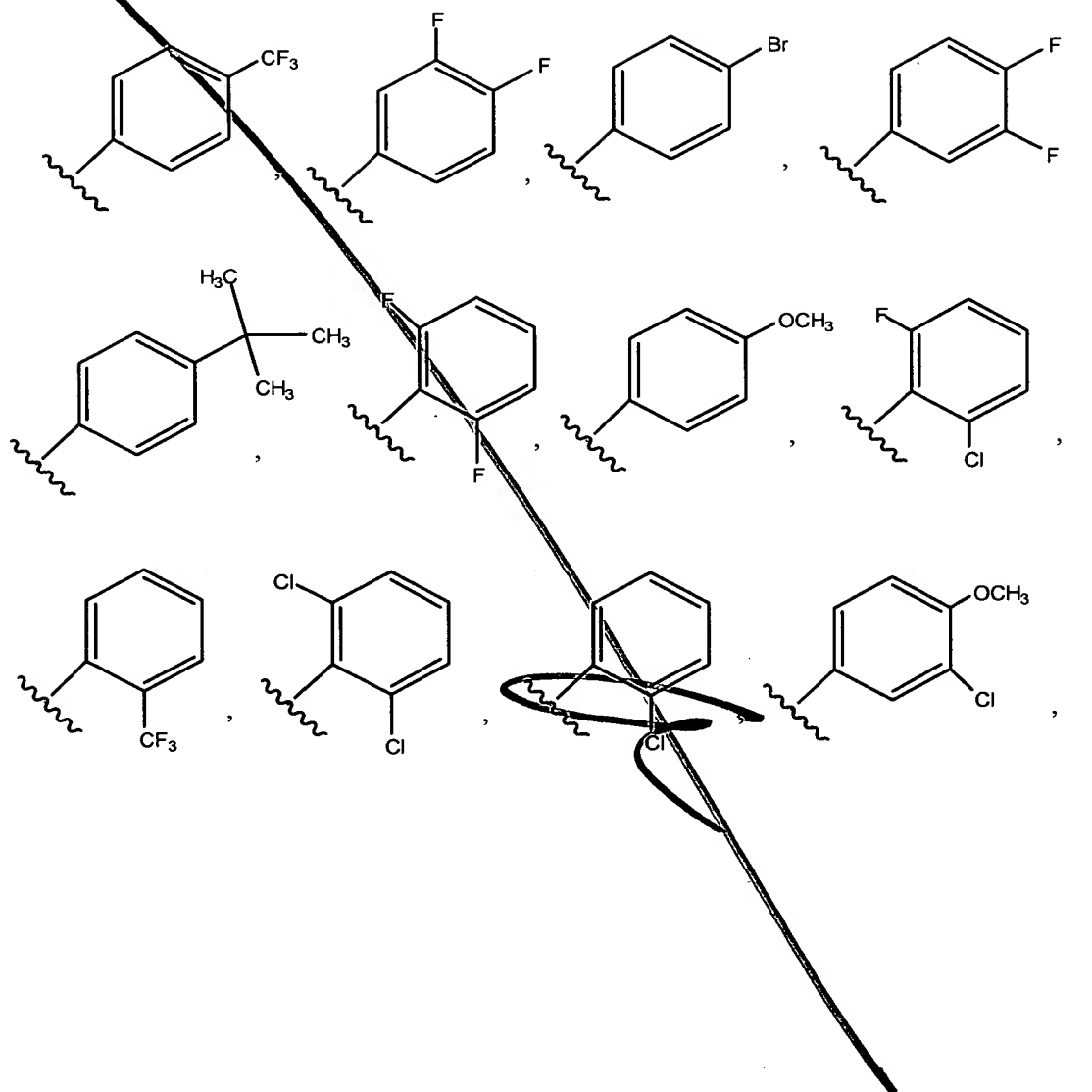
5 R<sup>c</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

15 R<sup>d</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

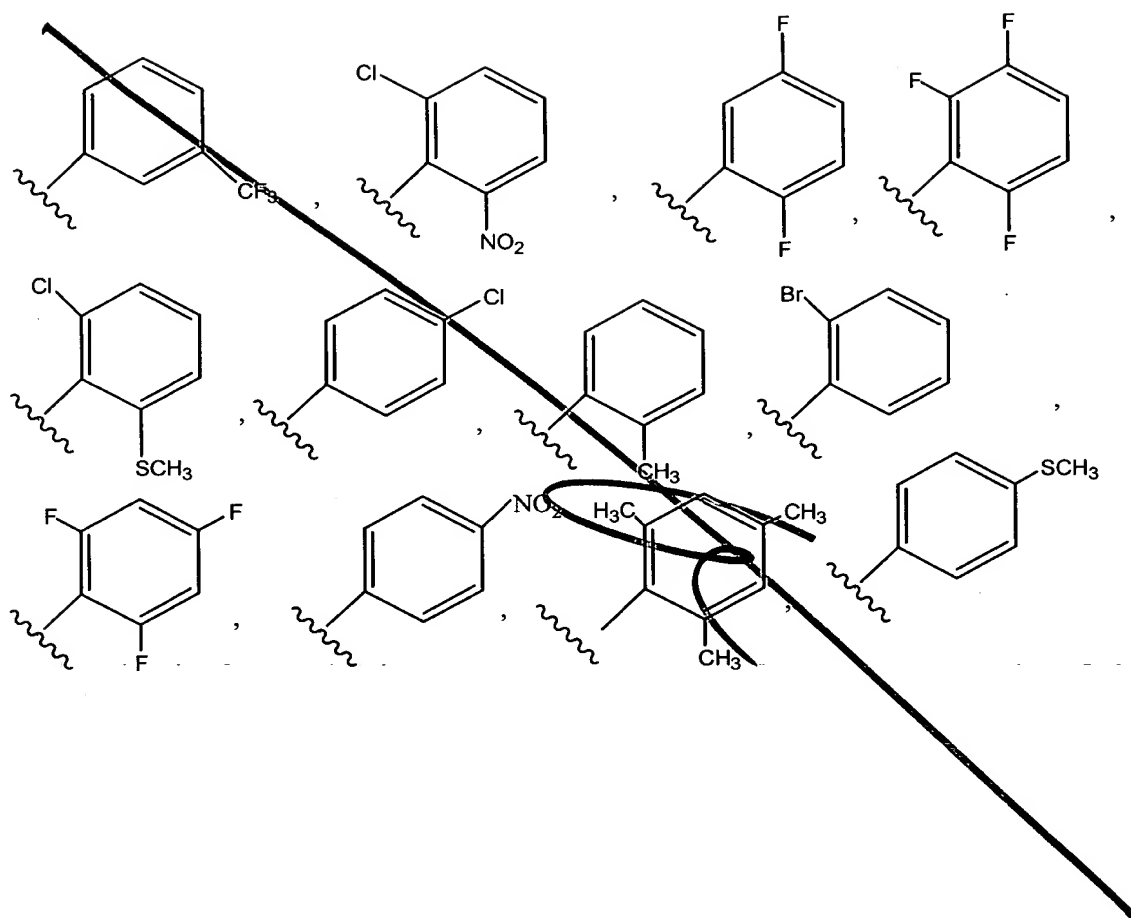
25 R<sup>c</sup>R<sup>d</sup> together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

30 41. The method according to claim 24 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached;

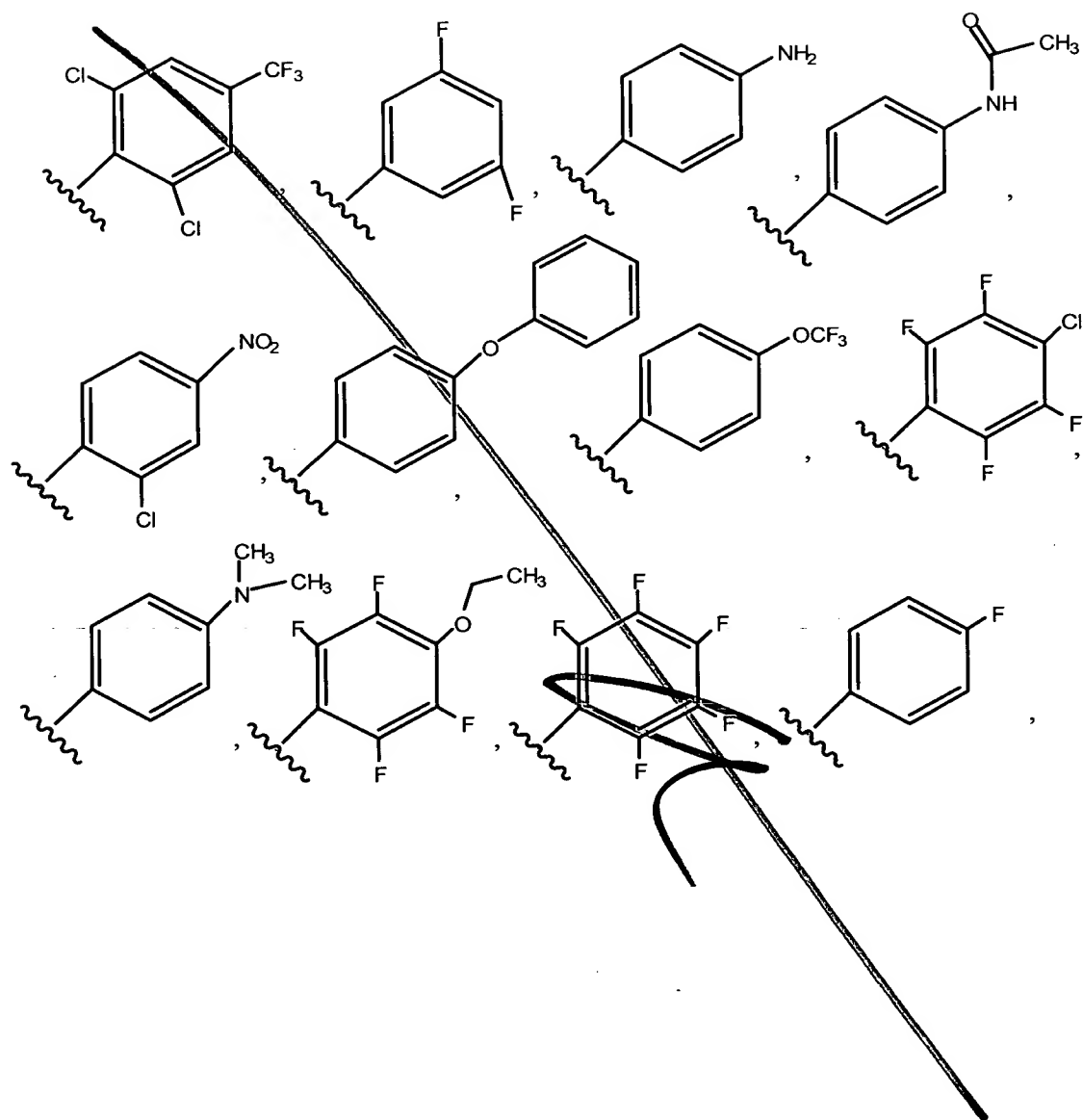
$R^2$  is selected from



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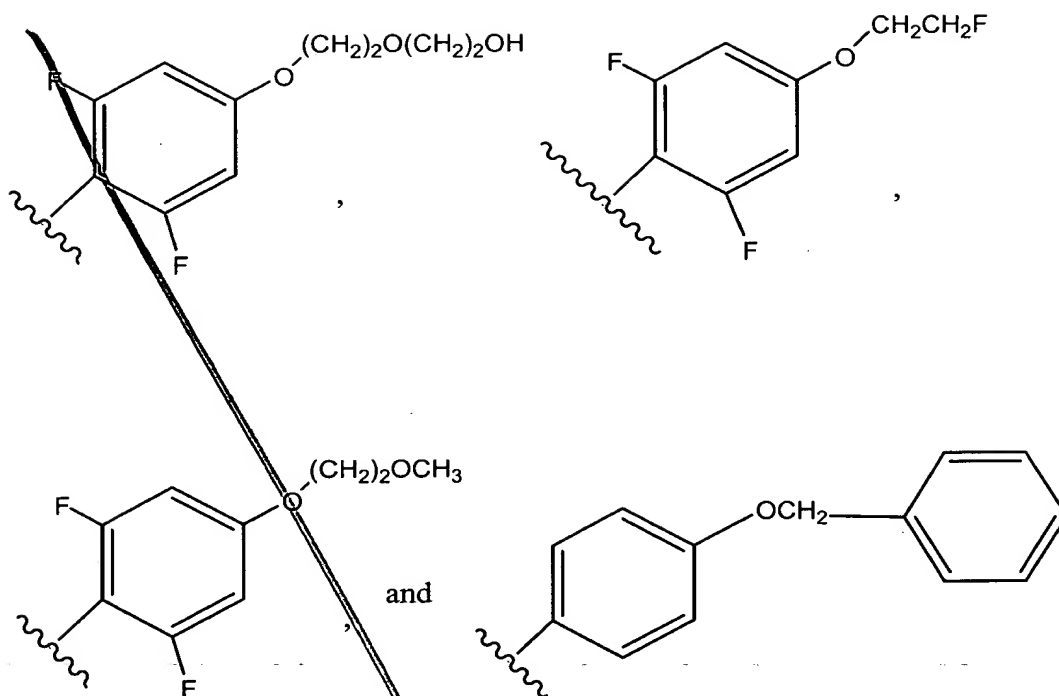
The image displays 13 chemical structures of substituted benzenes, arranged in a grid-like fashion. A large diagonal line is drawn across the entire page, and a thick black scribble is present at the bottom right.

- Top row (left to right):
  - 1,3,5-trimethylbenzene (mesitylene)
  - 1,3,5-trifluorobenzene
  - 1,3,5-trifluorobenzene
  - 1-chloro-3-bromobenzene
- Second row (left to right):
  - 1-fluoro-3-nitrobenzene
  - 1,3,5-trifluorobenzene
  - 1-fluoro-3-methoxybenzene
  - 1,3-dichloro-5-fluorobenzene
- Third row (left to right):
  - 1,3,5-trifluorobenzene
  - 1,3,5-trifluorobenzene
  - 1,3,5-trifluorobenzene
  - 1,3,5-trifluorobenzene
- Fourth row (left to right):
  - 1,3,5-trifluorobenzene
  - 1,3,5-trifluorobenzene
  - 1,3,5-trifluorobenzene
  - 1,3,5-trifluorobenzene
- Fifth row (left to right):
  - 1,3,5-trifluorobenzene
  - 1,3,5-trifluorobenzene
  - 1,3,5-trifluorobenzene
  - 1,3,5-trifluorobenzene



Chemical structures of various fluorinated aromatic compounds, some crossed out with a diagonal line:

- ~~Fc1cc(F)c(OCCOC(=O)CC)c(F)c1~~
- Fc1cc(F)c(OCCOC(=O)CC)c(F)c1
- Fc1cc(F)c(OCC)c(F)c1
- ~~Fc1cc(F)c(OCC2=CC=CC=C2)c(F)c1~~
- Fc1cc(F)c(OCC2=CC=CC=C2)c(F)c1
- Fc1cc(F)c(OCC3=CC=CC=C3)c(F)c1
- Fc1cc(F)c(OCC4=CC=CC=C4)c(F)c1
- Fc1cc(F)c(OCC5=CC=CC=C5)c(F)c1
- Fc1cc(F)c(OCC6=CC=CC=C6)c(F)c1
- Fc1cc(F)c(OCC7=CC=CC=C7)c(F)c1
- Fc1cc(F)c(OCC8=CC=CC=C8)c(F)c1
- Fc1cc(F)c(OCC9=CC=CC=C9)c(F)c1
- Fc1cc(F)c(OCC10=CC=CC=C10)c(F)c1
- Fc1cc(F)c(OCC11=CC=CC=C11)c(F)c1
- Fc1cc(F)c(OCC12=CC=CC=C12)c(F)c1
- Fc1cc(F)c(OCC13=CC=CC=C13)c(F)c1
- Fc1cc(F)c(OCC14=CC=CC=C14)c(F)c1
- Fc1cc(F)c(OCC15=CC=CC=C15)c(F)c1
- Fc1cc(F)c(OCC16=CC=CC=C16)c(F)c1
- Fc1cc(F)c(OCC17=CC=CC=C17)c(F)c1
- Fc1cc(F)c(OCC18=CC=CC=C18)c(F)c1
- Fc1cc(F)c(OCC19=CC=CC=C19)c(F)c1
- Fc1cc(F)c(OCC20=CC=CC=C20)c(F)c1
- Fc1cc(F)c(OCC21=CC=CC=C21)c(F)c1
- Fc1cc(F)c(OCC22=CC=CC=C22)c(F)c1
- Fc1cc(F)c(OCC23=CC=CC=C23)c(F)c1
- Fc1cc(F)c(OCC24=CC=CC=C24)c(F)c1
- Fc1cc(F)c(OCC25=CC=CC=C25)c(F)c1
- Fc1cc(F)c(OCC26=CC=CC=C26)c(F)c1
- Fc1cc(F)c(OCC27=CC=CC=C27)c(F)c1
- Fc1cc(F)c(OCC28=CC=CC=C28)c(F)c1
- Fc1cc(F)c(OCC29=CC=CC=C29)c(F)c1
- Fc1cc(F)c(OCC30=CC=CC=C30)c(F)c1
- Fc1cc(F)c(OCC31=CC=CC=C31)c(F)c1
- Fc1cc(F)c(OCC32=CC=CC=C32)c(F)c1
- Fc1cc(F)c(OCC33=CC=CC=C33)c(F)c1
- Fc1cc(F)c(OCC34=CC=CC=C34)c(F)c1
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- Fc1cc(F)c(OCC37=CC=CC=C37)c(F)c1
- Fc1cc(F)c(OCC38=CC=CC=C38)c(F)c1
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- Fc1cc(F)c(OCC41=CC=CC=C41)c(F)c1
- Fc1cc(F)c(OCC42=CC=CC=C42)c(F)c1
- Fc1cc(F)c(OCC43=CC=CC=C43)c(F)c1
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- Fc1cc(F)c(OCC45=CC=CC=C45)c(F)c1
- Fc1cc(F)c(OCC46=CC=CC=C46)c(F)c1
- Fc1cc(F)c(OCC47=CC=CC=C47)c(F)c1
- Fc1cc(F)c(OCC48=CC=CC=C48)c(F)c1
- Fc1cc(F)c(OCC49=CC=CC=C49)c(F)c1
- Fc1cc(F)c(OCC50=CC=CC=C50)c(F)c1
- Fc1cc(F)c(OCC51=CC=CC=C51)c(F)c1
- Fc1cc(F)c(OCC52=CC=CC=C52)c(F)c1
- Fc1cc(F)c(OCC53=CC=CC=C53)c(F)c1
- Fc1cc(F)c(OCC54=CC=CC=C54)c(F)c1
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- Fc1cc(F)c(OCC57=CC=CC=C57)c(F)c1
- Fc1cc(F)c(OCC58=CC=CC=C58)c(F)c1
- Fc1cc(F)c(OCC59=CC=CC=C59)c(F)c1
- Fc1cc(F)c(OCC60=CC=CC=C60)c(F)c1
- Fc1cc(F)c(OCC61=CC=CC=C61)c(F)c1
- Fc1cc(F)c(OCC62=CC=CC=C62)c(F)c1
- Fc1cc(F)c(OCC63=CC=CC=C63)c(F)c1
- Fc1cc(F)c(OCC64=CC=CC=C64)c(F)c1
- Fc1cc(F)c(OCC65=CC=CC=C65)c(F)c1
- Fc1cc(F)c(OCC66=CC=CC=C66)c(F)c1
- Fc1cc(F)c(OCC67=CC=CC=C67)c(F)c1
- Fc1cc(F)c(OCC68=CC=CC=C68)c(F)c1
- Fc1cc(F)c(OCC69=CC=CC=C69)c(F)c1
- Fc1cc(F)c(OCC70=CC=CC=C70)c(F)c1
- Fc1cc(F)c(OCC71=CC=CC=C71)c(F)c1
- Fc1cc(F)c(OCC72=CC=CC=C72)c(F)c1
- Fc1cc(F)c(OCC73=CC=CC=C73)c(F)c1
- Fc1cc(F)c(OCC74=CC=CC=C74)c(F)c1
- Fc1cc(F)c(OCC75=CC=CC=C75)c(F)c1
- Fc1cc(F)c(OCC76=CC=CC=C76)c(F)c1
- Fc1cc(F)c(OCC77=CC=CC=C77)c(F)c1
- Fc1cc(F)c(OCC78=CC=CC=C78)c(F)c1
- Fc1cc(F)c(OCC79=CC=CC=C79)c(F)c1
- Fc1cc(F)c(OCC80=CC=CC=C80)c(F)c1
- Fc1cc(F)c(OCC81=CC=CC=C81)c(F)c1
- Fc1cc(F)c(OCC82=CC=CC=C82)c(F)c1
- Fc1cc(F)c(OCC83=CC=CC=C83)c(F)c1
- Fc1cc(F)c(OCC84=CC=CC=C84)c(F)c1
- Fc1cc(F)c(OCC85=CC=CC=C85)c(F)c1
- Fc1cc(F)c(OCC86=CC=CC=C86)c(F)c1
- Fc1cc(F)c(OCC87=CC=CC=C87)c(F)c1
- Fc1cc(F)c(OCC88=CC=CC=C88)c(F)c1
- Fc1cc(F)c(OCC89=CC=CC=C89)c(F)c1
- Fc1cc(F)c(OCC90=CC=CC=C90)c(F)c1
- Fc1cc(F)c(OCC91=CC=CC=C91)c(F)c1
- Fc1cc(F)c(OCC92=CC=CC=C92)c(F)c1
- Fc1cc(F)c(OCC93=CC=CC=C93)c(F)c1
- Fc1cc(F)c(OCC94=CC=CC=C94)c(F)c1
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- Fc1cc(F)c(OCC124=CC=CC=C124)c(F)c1
- Fc1cc(F)c(OCC125=CC=CC=C125)c(F)c1
- Fc1cc(F)c(OCC126=CC=CC=C126)c(F)c1
- Fc1cc(F)c(OCC127=CC=CC=C127)c(F)c1
- Fc1cc(F)c(OCC128=CC=CC=C128)c(F)c1
- Fc1cc(F)c(OCC129=CC=CC=C129)c(F)c1
- Fc1cc(F)c(OCC130=CC=CC=C130)c(F)c1
- Fc1cc(F)c(OCC131=CC=CC=C131)c(F)c1
- Fc1cc(F)c(OCC132=CC=CC=C132)c(F)c1
- Fc1cc(F)c(OCC133=CC=CC=C133)c(F)c1
- Fc1cc(F)c(OCC134=CC=CC=C134)c(F)c1
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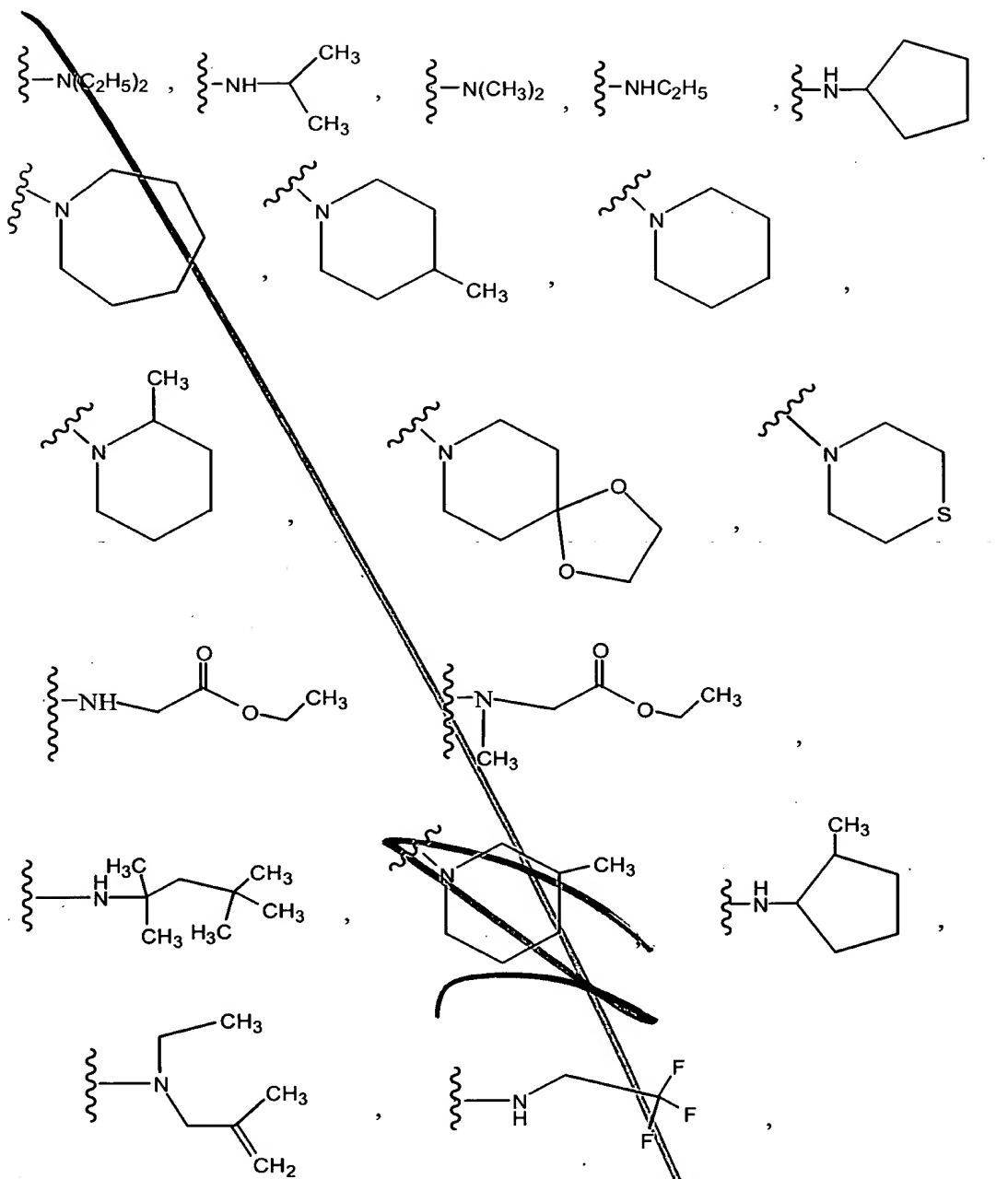
5

$R^3$  is halogen, alkoxy,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$ ;

10  $R^4$  is H or a pharmaceutically acceptable salt thereof is administered.

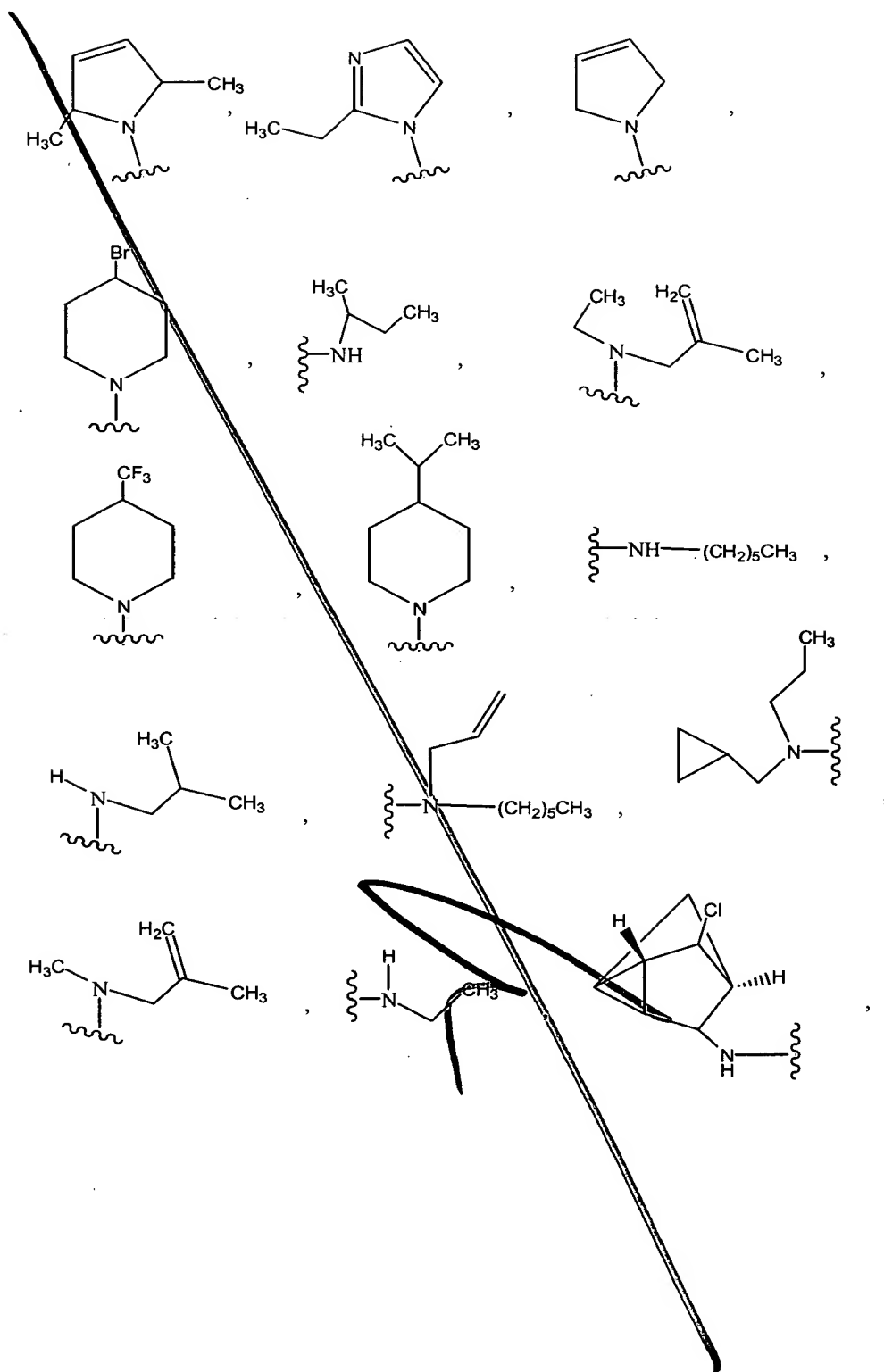
42. The method according to claim 24 wherein  $R^1$  is the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached and wherein  $R^1$  is selected from

15



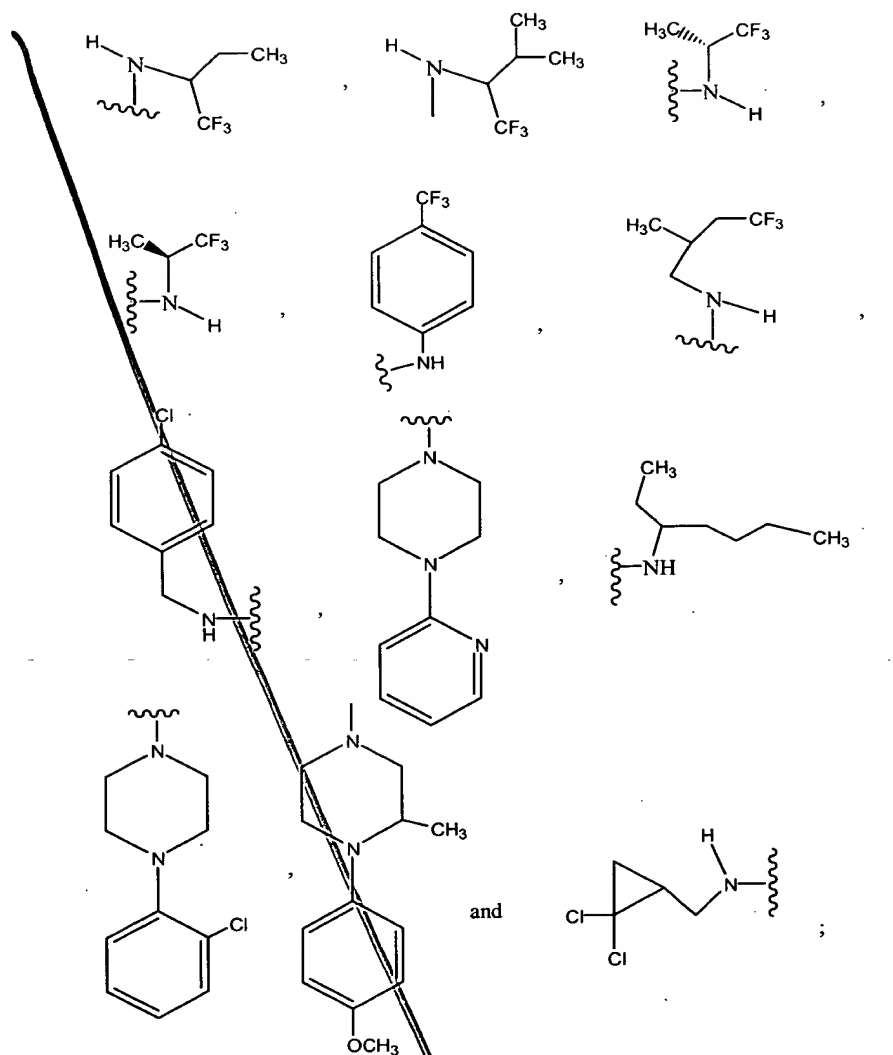


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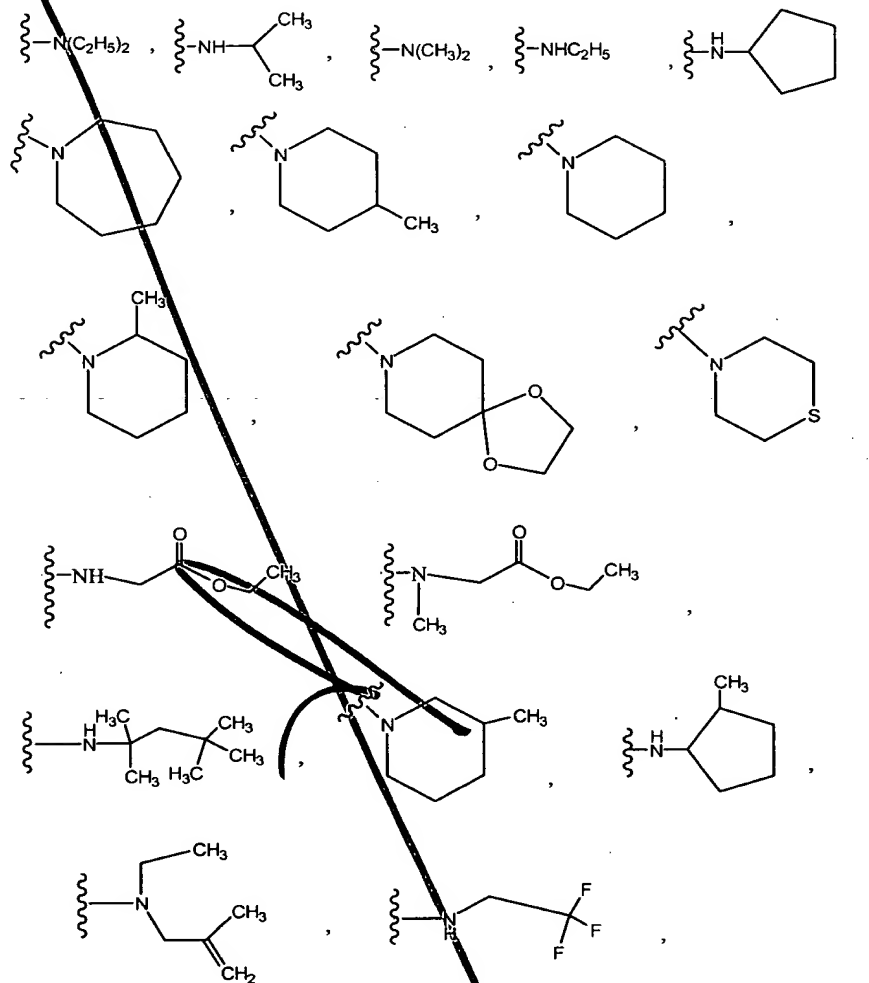
R<sup>2</sup> is optionally substituted phenyl;

R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>;

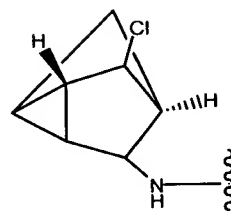
R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

43. The method according to claim 24 wherein  $R^1$  is the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached and wherein  $R^1$  is selected from

5



[illegible]

[illegible]

[illegible]

[illegible]

$R^3$  is halogen, alkoxy of 1 to 12 carbon atoms,  $-NR^cR^d$ , haloalkoxy of 1 to 12

$R^4$  is H or a pharmaceutically acceptable salt thereof is administered.

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- 7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 30

- 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;



6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-7-(2-methyl-1-piperidiny)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

10 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

25 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

- 5-chloro-7-(4-methyl-1-piperidiny)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;

10 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-7-(4-chloro-1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidiny][1,2,4]triazolo[1,5a]pyrimidine;

7-(4-bromo-1-piperidiny)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-(4-nitrophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(4-nitrophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30

7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-  
20 a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

25 4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;

N-{4-[5-chloro-7-(4-methyl-1-piperidiny)]1,2,4}triazolo[1,5-a]pyrimidin-6-yl}phenyl}acetamide;

30 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)methyl  
acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;

7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;



- 4-[5-chloro-2-methyl-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;
- 5 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidiny)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfany)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;
- diethyl 2-allyl-2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;
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6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-  
20 trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidiny)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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[illegible]

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7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

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~~5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

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7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;

5

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolylethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-(2-fluoro-4-nitrophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2-fluoro-4-nitrophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

25 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;



5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;

2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl)-3,5-difluoro-phenol;
- 5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;
- 5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;
- 20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
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2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

5 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-7-(1,4-dioxo-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;

5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- [5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;
- 5 5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)-[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- diethyl 2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy]-2-isobutylmalonate;
- 20 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;
- 25 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;
- 5-chloro-7-(3-nitro-4-methylanilino)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30

[illegible]

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- 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-(3-tricyclo[2.2.1.0<sup>2,6</sup>]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

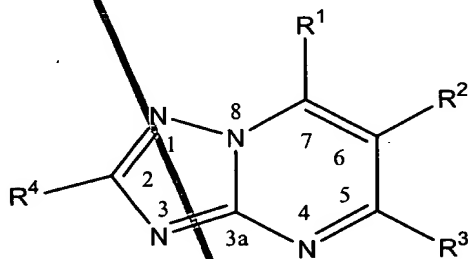


2,5-dichloro-7-(4-methyl-1-piperidiny)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

- 5 45. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by administering to said mammal an effective amount of a substituted triazolopyrimidine derivative having a paclitaxel like mechanism of action on tubulin polymerization or a pharmaceutically acceptable salt thereof .

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46. The method according to Claim 45 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



(I)

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wherein:

- 20 R<sup>1</sup> is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,

halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-\text{S-aryl}$  of 6, 10 or 14 carbon atoms,  $-\text{S-alkyl}$  of 1 to 12 carbon atoms,  $-\text{S-cycloalkyl}$  of 3 to 8 carbon atoms,  $-\text{S-alkenyl}$  of 2 to 12 carbon atoms,  $-\text{SO}_2\text{aryl}$  of 6, 10 or 14 carbon atoms,  $-\text{SO}_2\text{cycloalkyl}$  of 3 to 8 carbon atoms,  $-\text{SO}_2\text{alkyl}$  of 1 to 12 carbon atoms,  $-\text{O-aryl}$  of 6, 10 or 14 carbon atoms, and the moiety  $-\text{NR}^a\text{R}^b$ ;

$\text{R}^a$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

$\text{R}^b$  is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted

bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-\text{S-aryl}$  of 6, 10 or 14 carbon atoms,  $-\text{S-alkyl}$ ,  $-\text{S-alkenyl}$ ,  $-\text{SO}_2\text{aryl}$  of 6, 10 or 14 carbon atoms,  $-\text{SO}_2\text{cycloalkyl}$ ,  $-\text{SO}_2\text{alkyl}$ ,  $-\text{O-aryl}$  of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

$\text{R}^a\text{R}^b$  together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one  $-\text{CH}_2-$  may optionally be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

$\text{R}^2$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

$\text{R}^3$  is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy,  $-\text{NR}^c\text{R}^d$ , benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or  $-\text{N}_3$ ;

$R^c$  is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

$R^d$  is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

$R^cR^d$  together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or alkyl of 1 to 12 carbon atoms;

R<sup>4</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or -CF<sub>3</sub>;  
 provided that when: a) R<sup>1</sup> is diethylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R<sup>1</sup> is diethylamino, R<sup>3</sup> is bromo, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 4-trifluoromethylphenyl; c) R<sup>1</sup> is isopropylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R<sup>1</sup> is cyclopentylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R<sup>1</sup> is 2-amino-bicyclo(2.2.1.)heptyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 3,4,5-trimethoxyphenyl and f) R<sup>1</sup> is diethylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 4-trifluoromethylphenyl and g) R<sup>1</sup> is 1,1,1-trifluoroethoxy, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-chloro-6-fluorophenyl h) R<sup>1</sup> is -SO<sub>2</sub>ethyl or -SO<sub>2</sub>cyclopentyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-chloro-6-fluorophenyl; i) R<sup>4</sup> is hydrogen, R<sup>2</sup> is 2-chloro-6-fluorophenyl, R<sup>1</sup> and R<sup>3</sup> are not 1,2,4-triazole; j) R<sup>1</sup> is cyclohexyl, R<sup>4</sup> is hydrogen, R<sup>2</sup> is 2,4,6-trifluorophenyl, and R<sup>3</sup> is not -OCH<sub>2</sub>O<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>; k) R<sup>1</sup> is 2-thienyl, R<sup>4</sup> is ethyl, R<sup>3</sup> is hydrogen and R<sup>2</sup> is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R<sup>2</sup> is phenyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen R<sup>1</sup> is not (2E)-3,7-dimethyl-2,6-octadienyl  
 or a pharmaceutically acceptable salt thereof.

47. The method according to claim 46 wherein R<sup>1</sup> is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14

carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-S$ -aryl of 6, 10 or 14 carbon atoms,  $-S$ -alkyl of 1 to 12 carbon atoms,  $-S$ -alkenyl of 2 to 12 carbon atoms,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl of 3 to 8 carbon atoms,  $-SO_2$ alkyl of 1 to 12 carbon atoms,  $-O$ -aryl of 6, 10 or 14 carbon atoms, and the moiety  $-NR^aR^b$  or a pharmaceutically acceptable salt thereof is administered.

48. The method according to claim 46 wherein  $R^a$  and  $R^b$  each independently represent the moiety  $-C^*H(R^e)(R^f)$  where  $R^e$  and  $R^f$  independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where  $C^*$  represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.

49. The method according to claim 46 wherein  $R^2$  is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or halogen or a pharmaceutically acceptable salt thereof is administered.

50. The method according to claim 46 wherein  $R^3$  is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy,  $-NR^cR^d$ , benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkythio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or  $-N_3$  or a pharmaceutically acceptable salt thereof is administered.

51. The method according to claim 46 wherein  $R^4$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon

atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms,  $-\text{CF}_3$  or a pharmaceutically acceptable salt thereof is administered.

5 52. The method according to claim 46 wherein  $\text{R}^1$  is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted  
10 bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12  
15 carbon atoms,  $-\text{S}$ -aryl of 6, 10 or 14 carbon atoms,  $-\text{S}$ -alkyl of 1 to 12 carbon atoms,  $-\text{S}$ -alkenyl of 2 to 12 carbon atoms,  $-\text{SO}_2$ aryl of 6, 10 or 14 carbon atoms,  $-\text{SO}_2$ cycloalkyl of 3 to 8 carbon atoms,  $-\text{SO}_2$ alkyl of 1 to 12 carbon atoms,  $-\text{O}$ -aryl of 6, 10 or 14 carbon atoms, and the moiety  $-\text{NR}^a\text{R}^b$  wherein  $\text{R}^a\text{R}^b$  are optionally taken together with the  
20 nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

53. The method according to claim 46 wherein  $\text{R}^2$  is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocyclyl or a pharmaceutically  
25 acceptable salt thereof is administered.

54. The method according to claim 46 wherein  $\text{R}^3$  is halogen, alkoxy of 1 to 12 carbon atoms,  $-\text{NR}^c\text{R}^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or  $-\text{N}_3$  or a pharmaceutically acceptable  
30 salt thereof is administered.

55. The method according to claim 46 wherein  $R^4$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms,  $-CF_3$  or a pharmaceutically acceptable salt thereof is administered.
56. The method according to claim 46 wherein  $R^1$  is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-S$ -aryl of 6, 10 or 14 carbon atoms,  $-S$ -alkyl of 1 to 12 carbon atoms,  $-S$ -alkenyl of 2 to 12 carbon atoms,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl of 5 to 10 carbon atoms,  $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
57. The method according to claim 46 wherein  $R^2$  is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
58. The method according to claim 46 wherein  $R^3$  is halogen, alkoxy of 1 to 12 carbon atoms,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$  or a pharmaceutically acceptable salt thereof is administered.
59. The method according to claim 46 wherein  $R^4$  is H or a pharmaceutically acceptable salt thereof is administered.



60. The method according to claim 46 wherein  $R^1$  is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-S$ -aryl of 6, 10 or 14 carbon atoms,  $-S$ -alkyl of 1 to 12 carbon atoms,  $-S$ -alkenyl of 2 to 12 carbon atoms,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl of 3 to 8 carbon atoms,  $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached;  $R^2$  is optionally substituted phenyl;  $R^3$  is halogen, alkoxy of 1 to 12 carbon atoms,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$ ;  $R^4$  is H or a pharmaceutically acceptable salt thereof is administered.

61. The method according to claim 46 wherein  $R^1$  is the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached;  $R^2$  is optionally substituted phenyl;  $R^3$  is halogen, alkoxy of 1 to 12 carbon atoms,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$ ;  $R^4$  is H or a pharmaceutically acceptable salt thereof is administered.

62. The method according to claim 46 wherein  $R^1$  is the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached;  
 $R^2$  is optionally substituted phenyl;  
 $R^3$  is halogen, alkoxy,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$ ;  
 $R^4$  is H;

$R^a$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  
 5  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl;  $R^b$  is H, an  
 10 optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1  
 15 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-S$ -aryl of 6, 10 or 14 carbon atoms,  $-S$ -alkyl of 1 to 12 carbon atoms,  $-S$ -alkenyl of 2 to 12 carbon atoms,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl of 3 to 8 carbon atoms,  
 20  $-SO_2$ alkyl of 1 to 12 carbon atoms,  $-O$ -aryl of 6, 10 or 14 carbon atoms;  
 $R^a R^b$  together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 2 to 12 carbon atoms, said  
 25 saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

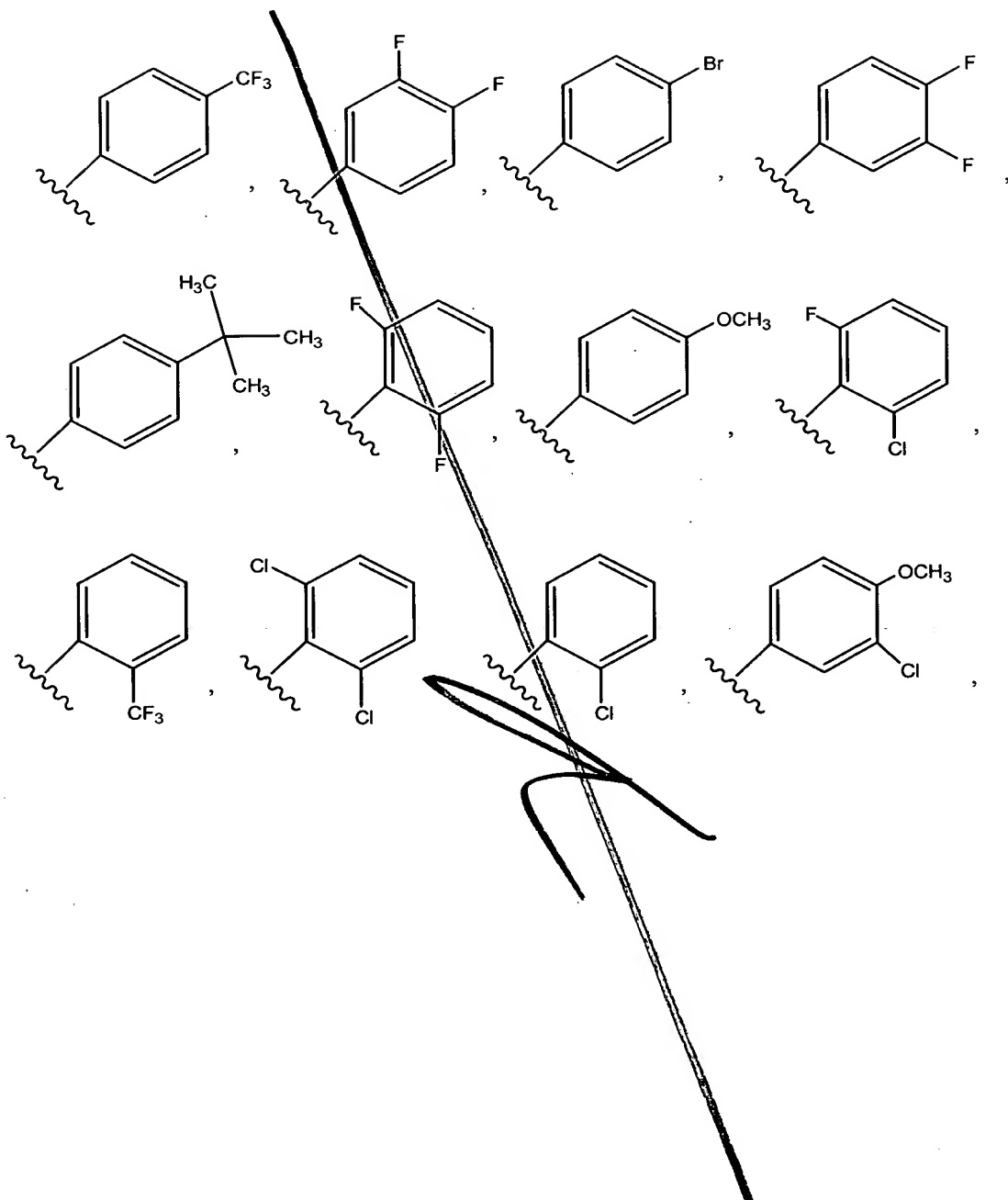
$R^c$  is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon  
 30 atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one  $-CH_2-$  may also

be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

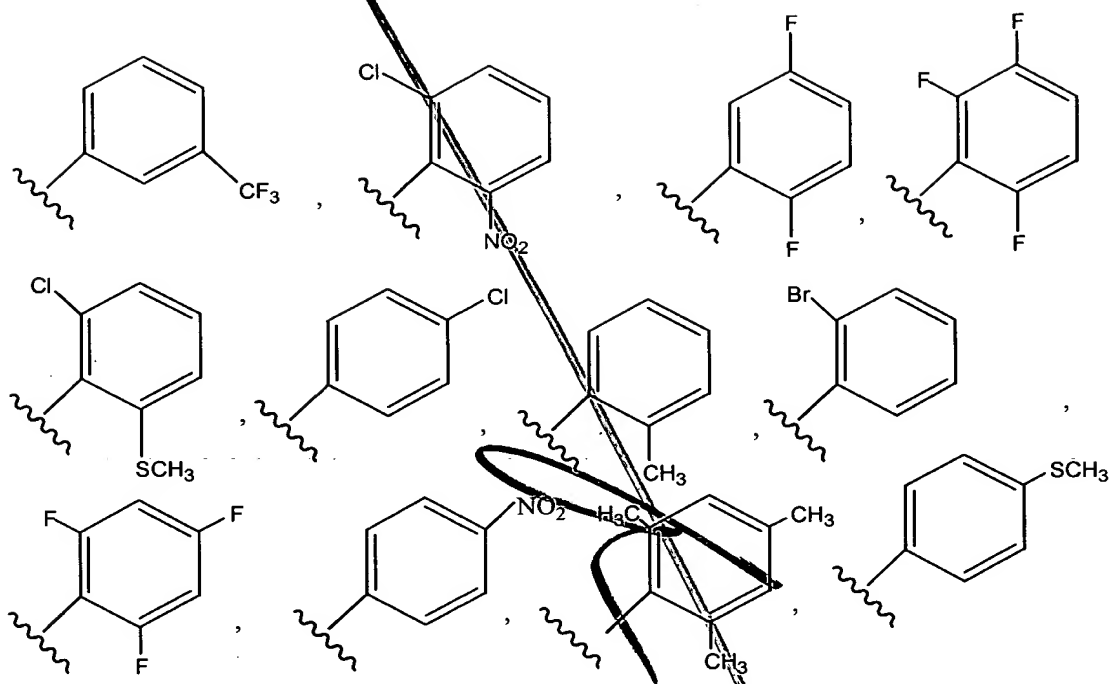
R<sup>d</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

R<sup>c</sup>R<sup>d</sup> together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

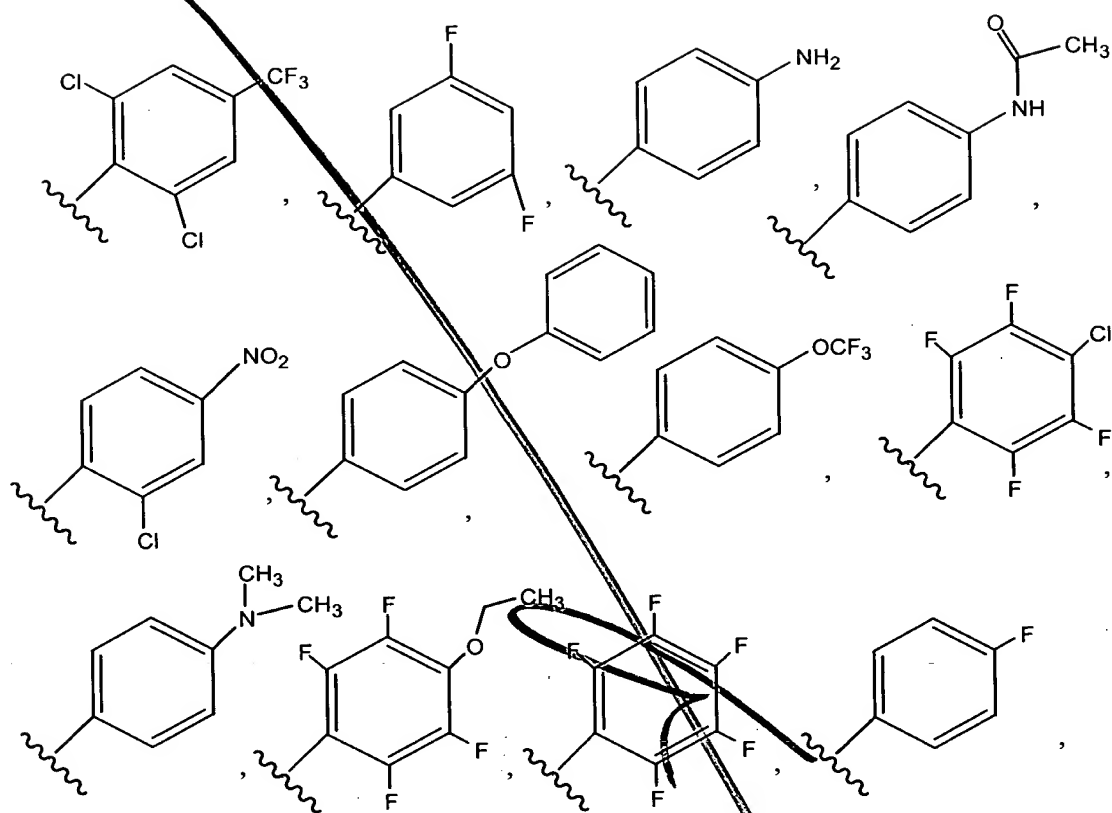
63. The method according to claim 46 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached;  
R<sup>2</sup> is selected from



5



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5

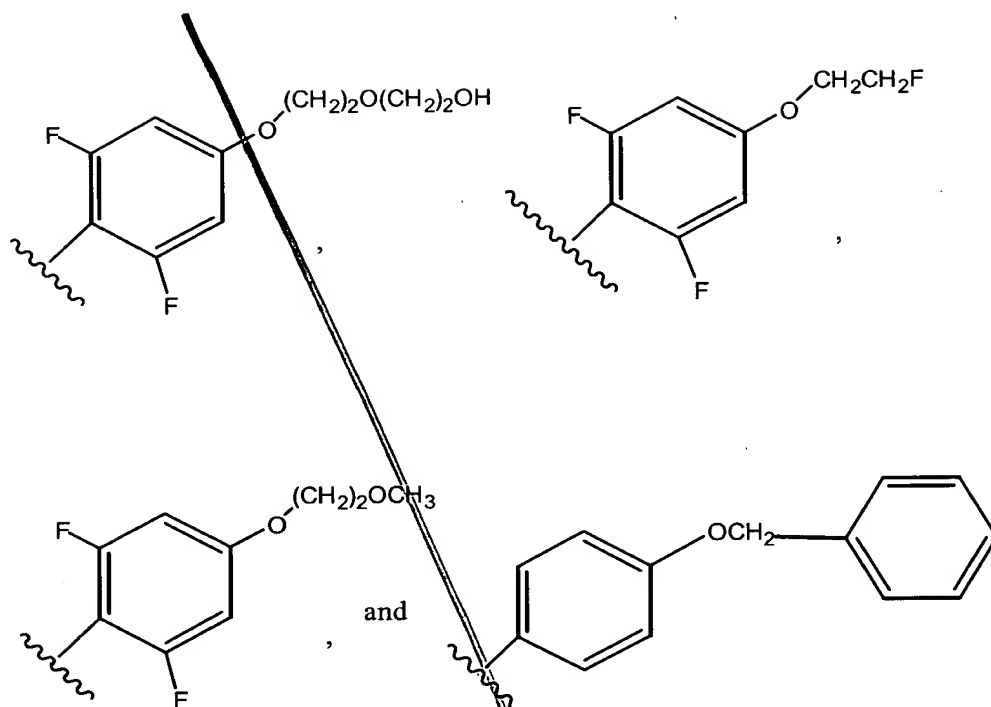






Chemical structures of various fluorinated aromatic compounds, some crossed out with a diagonal line:

- ~~Fc1cc(F)c(OCCOCCOC)cc1~~
- Fc1cc(F)c(OCCOCCOC)cc1
- ~~Fc1cc(F)c(OCC)cc1~~
- Fc1cc(F)c(OCC)cc1
- ~~Fc1cc(F)c(OCC2=CC=CC=C2)cc1~~
- Fc1cc(F)c(OCC2=CC=CC=C2)cc1
- ~~Fc1cc(F)c(OCC=C(C)C)cc1~~
- Fc1cc(F)c(OCC=C(C)C)cc1
- ~~Fc1cc(F)c(OCC2=CC=CC=C2)cc1~~
- Fc1cc(F)c(OCC2=CC=CC=C2)cc1
- ~~Fc1cc(F)c(Cl)c(Cl)c1~~
- Fc1cc(F)c(Cl)c(Cl)c1

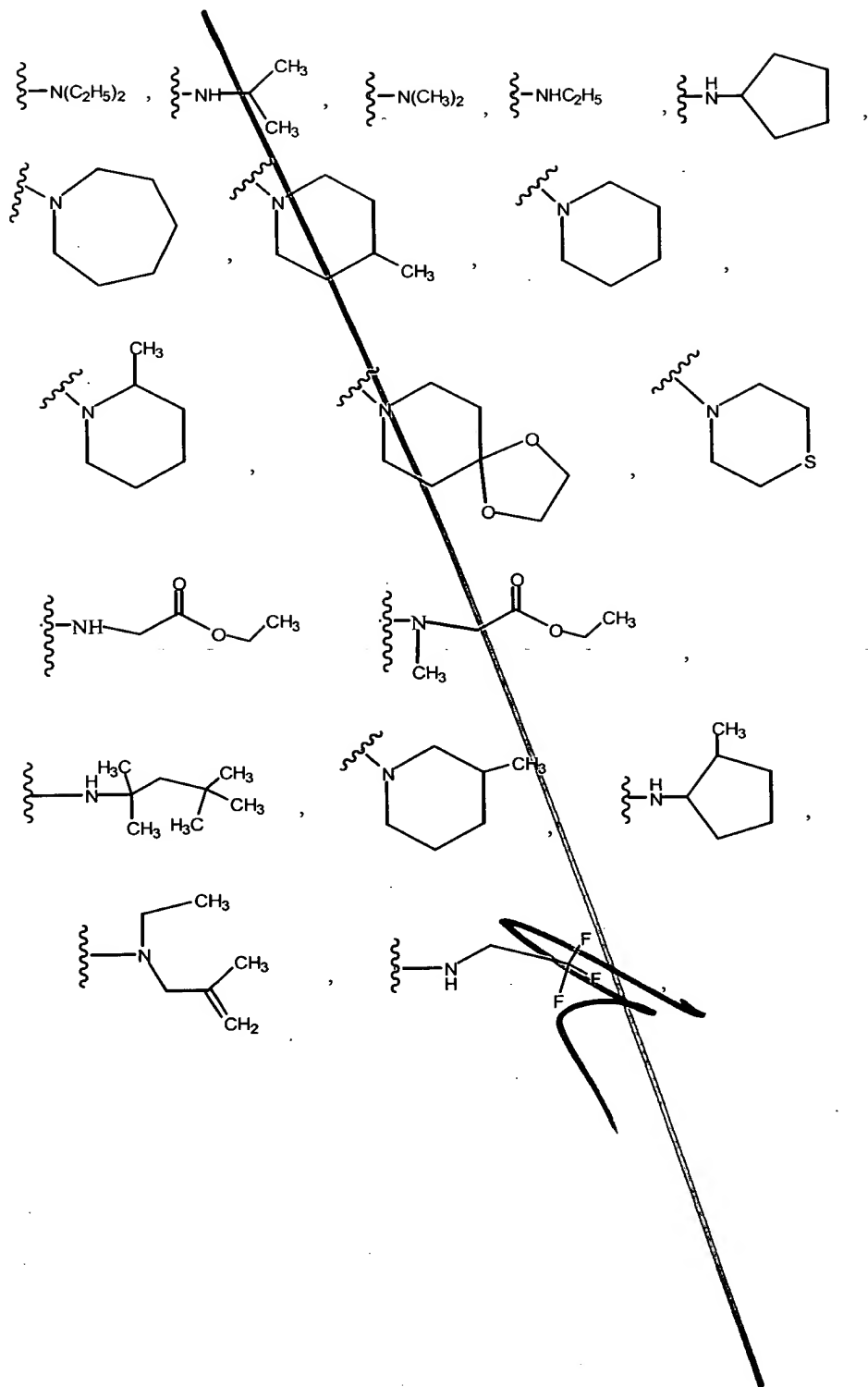


5  $R^3$  is halogen, alkoxy,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$ ;

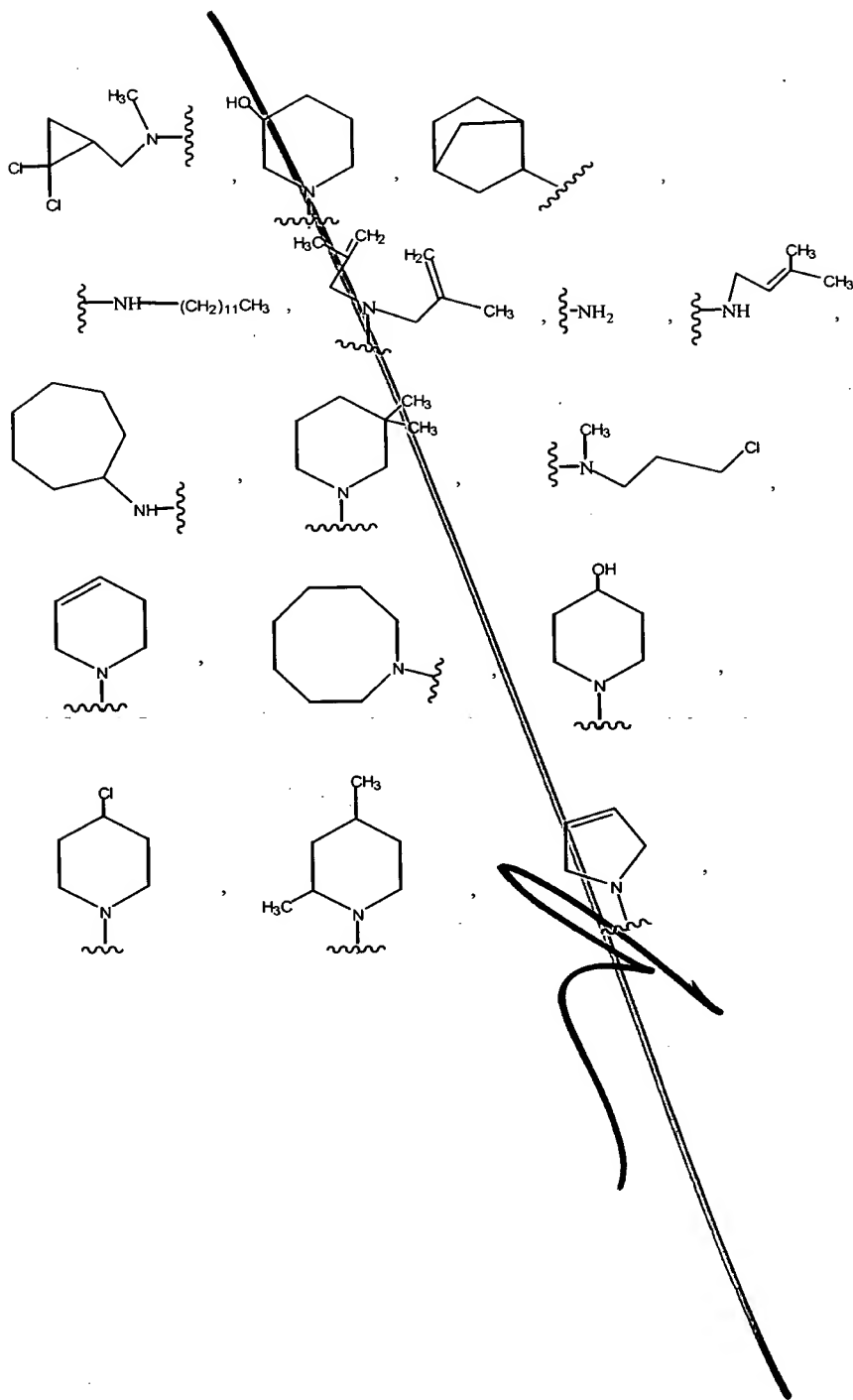
$R^4$  is H or a pharmaceutically acceptable salt thereof is administered.

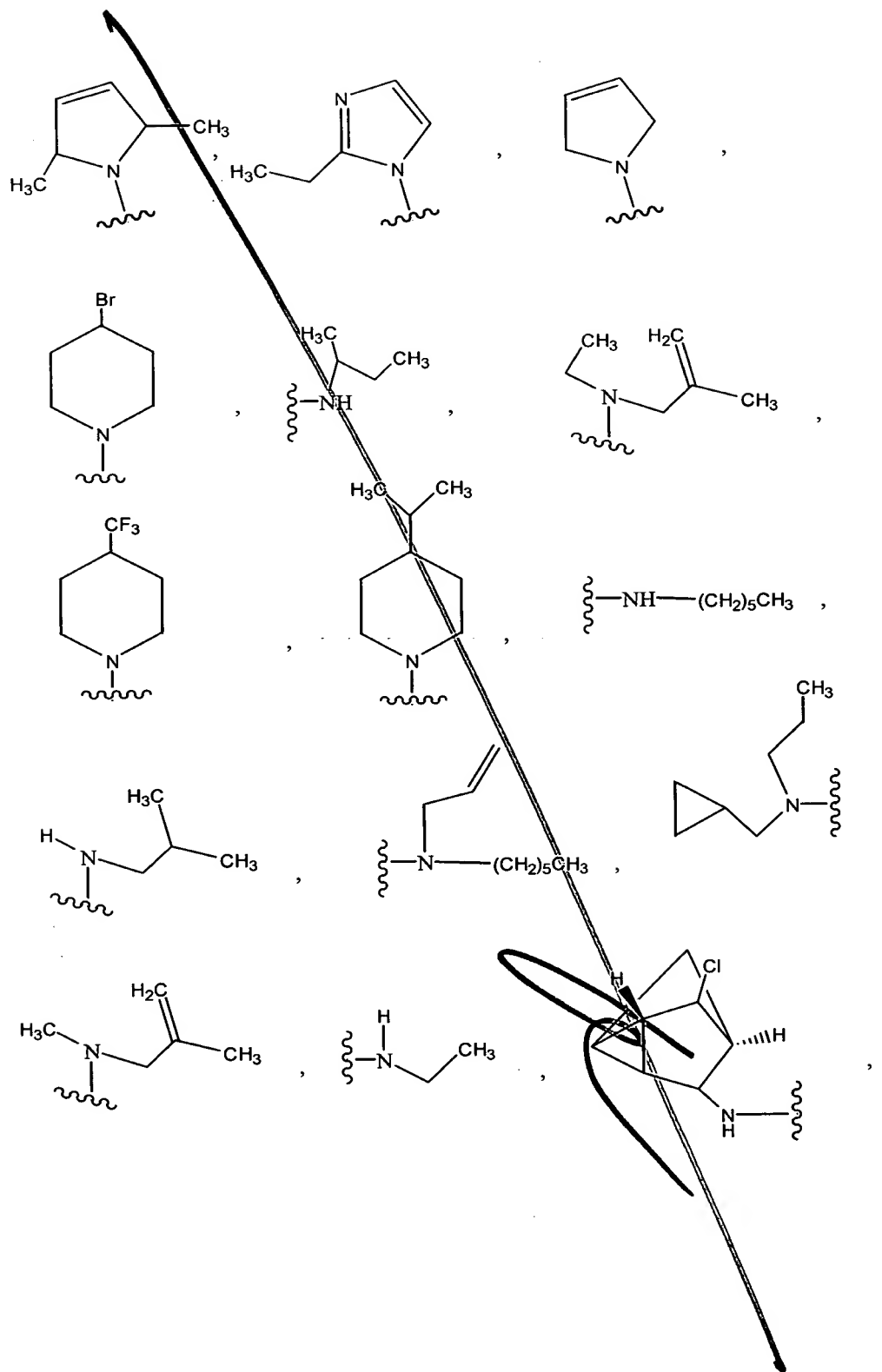
64. The method according to claim 46 wherein  $R^1$  is the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached and wherein  $R^1$  is selected from

10



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[illegible]



[illegible]

R<sup>2</sup> is optionally substituted phenyl;

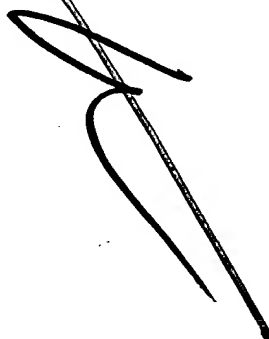
R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>;

R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

5

65. The method according to claim 46 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached and wherein R<sup>1</sup> is selected from

10

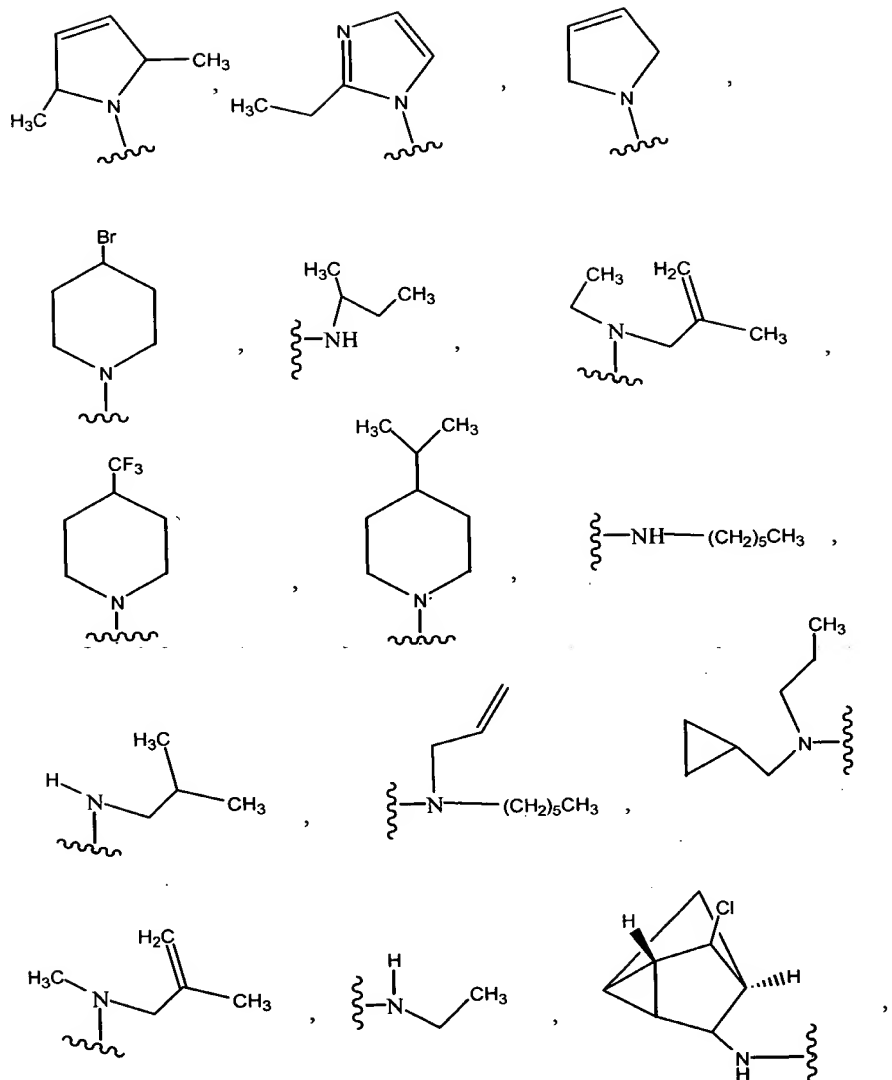


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[illegible]



The image displays a variety of amine ligands, categorized by their substitution pattern and functional groups. The structures are as follows:

- Top Row:**
  - Primary amine:  $\text{CH}_3\text{CH}_2\text{N}(\text{CH}_2)_3\text{CH}_3$
  - Tertiary amine:  $\text{H}_3\text{C}-\text{C}(\text{CH}_3)_2-\text{CH}_2-\text{N}(\text{CH}_2)_3$
  - Primary amine:  $\text{CF}_3\text{CH}_2\text{N}(\text{CH}_2)_2\text{CH}_2\text{CH}_3$
- Second Row:**
  - Primary amine:  $\text{H}_3\text{C}-\text{C}(\text{CF}_3)-\text{N}(\text{H})-\text{CH}_2\text{CH}_3$
  - Cyclic amine:  $\text{H}_3\text{C}-\text{CH}_2-\text{CH}_2-\text{N}(\text{CH}_2)_2-\text{CH}_2\text{CH}=\text{CH}_2$
  - Primary amine:  $\text{H}_3\text{C}-\text{CH}(\text{CH}_3)-\text{N}(\text{H})-\text{CH}_2\text{CH}_3$
- Third Row:**
  - Secondary amine:  $\text{H}_3\text{C}-\text{CH}(\text{CH}_3)-\text{N}(\text{CH}_3)-\text{CH}_2\text{CH}_3$
  - Secondary amine:  $\text{H}_3\text{C}-\text{CH}(\text{CH}_3)-\text{N}(\text{CH}_2\text{CF}_3)-\text{CH}_2\text{CF}_3$
  - Primary amine:  $\text{H}_3\text{C}-\text{CH}(\text{C}_6\text{H}_5)-\text{N}(\text{H})-\text{CH}_2\text{CH}_3$
- Fourth Row:**
  - Secondary amine:  $\text{H}_3\text{C}-\text{CH}(\text{CH}_3)-\text{N}(\text{CH}_2\text{CH}_3)-\text{CH}_2\text{CH}_3$
  - Secondary amine:  $\text{H}_3\text{C}-\text{CH}(\text{CF}_3)-\text{N}(\text{CH}_2\text{CF}_3)-\text{CH}_2\text{CF}_3$
  - Primary amine:  $\text{H}_3\text{C}-\text{CH}(\text{C}_5\text{H}_9)-\text{N}(\text{H})-\text{CH}_2\text{CH}_3$
- Fifth Row:**
  - Tertiary amine:  $\text{H}_3\text{C}-\text{C}(\text{CH}_3)_2-\text{N}(\text{CH}_3)-\text{CH}_2\text{CH}_3$
  - Cyclic amine:  $\text{F}_2\text{C}_2\text{N}(\text{CH}_2)_4$
  - Primary amine:  $\text{F}_3\text{C}-\text{CH}(\text{C}_6\text{H}_5)-\text{N}(\text{H})-\text{CH}_2\text{CH}_3$

A large diagonal line is drawn across the middle of the page, crossing out the structures in the third, fourth, and fifth rows.

The image displays a collection of chemical structures, primarily amines, arranged in a grid-like fashion. A large, bold, diagonal line is drawn across the center of the page, from the top-left towards the bottom-right, passing through several structures. The structures include:

- Top-left:** A primary amine with a wavy line on the nitrogen, a methyl group, and a 2-(trifluoromethyl)ethyl group.
- Top-middle:** A primary amine with a wavy line on the nitrogen, a methyl group, and a 2-(trifluoromethyl)ethyl group.
- Top-right:** A primary amine with a wavy line on the nitrogen, a methyl group, and a 2-(trifluoromethyl)ethyl group.
- Middle-left:** A primary amine with a wavy line on the nitrogen, a methyl group, and a 2-(trifluoromethyl)ethyl group.
- Middle-middle:** A primary amine with a wavy line on the nitrogen, a methyl group, and a 2-(trifluoromethyl)ethyl group.
- Middle-right:** A primary amine with a wavy line on the nitrogen, a methyl group, and a 2-(trifluoromethyl)ethyl group.
- Bottom-left:** A primary amine with a wavy line on the nitrogen, a methyl group, and a 2-(trifluoromethyl)ethyl group.
- Bottom-middle:** A primary amine with a wavy line on the nitrogen, a methyl group, and a 2-(trifluoromethyl)ethyl group.
- Bottom-right:** A primary amine with a wavy line on the nitrogen, a methyl group, and a 2-(trifluoromethyl)ethyl group.
- Center:** A complex structure featuring a pyridine ring substituted with a trifluoromethyl group and a piperazine ring. The piperazine ring is further substituted with a methyl group and a 2-(trifluoromethyl)ethyl group.

R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12

$R^4$  is H or a pharmaceutically acceptable salt thereof is administered.

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66. The method according to claim 46 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-7-(1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

15 7-(azepanyl)-5-chloro-6-[2-chloro-6-nitrophenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30



5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidiny)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridiny)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5

[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;

10

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;

5-chloro-7-(4-chloro-1-piperidiny)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15

5-chloro-7-(4-thiomorpholiny)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20

7-(4-methyl-1-piperidiny)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-chloro-1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidiny][1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidiny)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;

5 5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-  
20 nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

25 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-  
a]pyrimidin-7-amine;

- 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidiny)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

- 7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;
- N-{4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;
- 30 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;
- 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
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4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;

5 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;

diethyl 2-allyl-2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;

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6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-  
a]pyrimidin-7-amine;

6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-  
methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;



5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

~~5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;~~

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5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-  
a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolyl-ethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

25 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;

2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;



- 4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl)-3,5-difluoro-phenol;
- 5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;
- 5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 (5-chloro-6-[4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;
- 20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
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2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

5 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-cyano-7-(4-methyl-1-piperidiny)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-7-(1,4-dioxo-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-  
30 (methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-  
10 amine;
- 5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-  
15 a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-  
a]pyrimidine;
- 20 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-  
amine;
- 7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;  
ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-  
25 yl]amino}acetate;
- diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-  
malonate;
- 30 5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-  
a]pyrimidin-7-amine;



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- 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-(3-tricyclo[2.2.1.0<sup>2,6</sup>]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

2,5-dichloro-7-(4-methyl-1-piperidiny)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

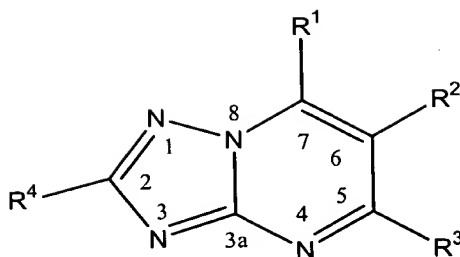
- 5 67. The method according to claim 1 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.

- 10 68. The method according to claim 23 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.

- 15 69. The method according to claim 45 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.

- 20 70. A pharmaceutical composition for treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof comprising an effective amount of a compound of Formula (I):





(I)

wherein:

- 5  $R^1$  is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,
- 10 halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10
- 15 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl of 3 to 8 carbon atoms,  $-SO_2$ alkyl of 1 to 12
- 20 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety  $-NR^aR^b$ ;

$R^a$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of

~~—CH<sub>2</sub>— may also be replaced by —O—, —S—, or —NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 1~~

10 atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an  
optionally substituted phenyl ring ;

R<sup>b</sup> is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl, -SO<sub>2</sub>alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

A3  
cont

R<sup>a</sup>R<sup>b</sup> together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one -CH<sub>2</sub>- may optionally be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

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R<sup>2</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

R<sup>3</sup> is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR<sup>c</sup>R<sup>d</sup>, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N<sub>3</sub>;

R<sup>c</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to

10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

*A3 cont* 5  $R^d$  is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

15  $R^cR^d$  together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or alkyl of 1 to 12 carbon atoms;

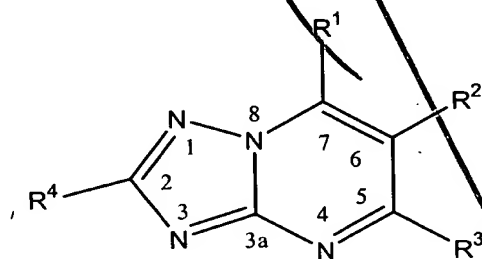
20  $R^4$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 25 14 carbon atoms, or  $-CF_3$ ;

provided that when: a)  $R^1$  is diethylamino,  $R^3$  is chloro,  $R^4$  is hydrogen,  $R^2$  is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b)  $R^1$  is diethylamino,  $R^3$  is bromo,  $R^4$  is hydrogen,  $R^2$  is not 4-trifluoromethylphenyl; c)  $R^1$  is isopropylamino,  $R^3$  is chloro,  $R^4$  is hydrogen, 30  $R^2$  is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d)  $R^1$  is

Q3  
cont

cyclopentylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R<sup>1</sup> is 2-amino-bicyclo(2.2.1.)heptyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 3,4,5-trimethoxyphenyl and f) R<sup>1</sup> is diethylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 4-trifluoromethylphenyl and g) R<sup>1</sup> is 1,1,1-trifluoroethoxy, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-chloro-6-fluorophenyl h) R<sup>1</sup> is -SO<sub>2</sub>ethyl or -SO<sub>2</sub>cyclopentyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-chloro-6-fluorophenyl; i) R<sup>4</sup> is hydrogen, R<sup>2</sup> is 2-chloro-6-fluorophenyl, R<sup>1</sup> and R<sup>3</sup> are not 1,2,4-triazole; j) R<sup>1</sup> is cyclohexyl, R<sup>4</sup> is hydrogen, R<sup>2</sup> is 2,4,6-trifluorophenyl, and R<sup>3</sup> is not -OCH<sub>2</sub>O<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>; k) R<sup>1</sup> is 2-thienyl, R<sup>4</sup> is ethyl, R<sup>3</sup> is hydrogen and R<sup>2</sup> is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R<sup>2</sup> is phenyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen R<sup>1</sup> is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable carrier.

71. A pharmaceutical composition for treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by interacting with tubulin and microtubules by promotion of microtubule polymerization which comprises an effective amount of a compound of Formula (I):



(I)

wherein:

*Q3 cont*

R<sup>1</sup> is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup>;

R<sup>a</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

Q3  
cont

R<sup>b</sup> is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl, -SO<sub>2</sub>alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R<sup>a</sup>R<sup>b</sup> together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one -CH<sub>2</sub>- may optionally be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R<sup>2</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

R<sup>3</sup> is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR<sup>c</sup>R<sup>d</sup>, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon

Q3  
cont

atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or  $-N_3$ ;

5  
10  
15  
 $R^c$  is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

20  
25  
30  
 $R^d$  is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

$R^cR^d$  together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally



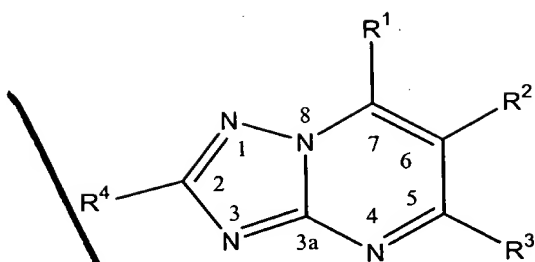
substituted in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or alkyl of 1 to 12 carbon atoms;

$\text{R}^4$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or  $-\text{CF}_3$ ;

provided that when: a)  $\text{R}^1$  is diethylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b)  $\text{R}^1$  is diethylamino,  $\text{R}^3$  is bromo,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 4-trifluoromethylphenyl; c)  $\text{R}^1$  is isopropylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d)  $\text{R}^1$  is cyclopentylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e)  $\text{R}^1$  is 2-amino-bicyclo(2.2.1.)heptyl,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 3,4,5-trimethoxyphenyl and f)  $\text{R}^1$  is diethylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 4-trifluoromethylphenyl and g)  $\text{R}^1$  is 1,1,1-trifluoroethoxy,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 2-chloro-6-fluorophenyl h)  $\text{R}^1$  is  $-\text{SO}_2$ ethyl or  $-\text{SO}_2$ cyclopentyl,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 2-chloro-6-fluorophenyl; i)  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is 2-chloro-6-fluorophenyl,  $\text{R}^1$  and  $\text{R}^3$  are not 1,2,4-triazole; j)  $\text{R}^1$  is cyclohexyl,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is 2,4,6-trifluorophenyl, and  $\text{R}^3$  is not  $-\text{OCH}_2\text{O}_2\text{C}(\text{CH}_3)_3$ ; k)  $\text{R}^1$  is 2-thienyl,  $\text{R}^4$  is ethyl,  $\text{R}^3$  is hydrogen and  $\text{R}^2$  is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l)  $\text{R}^2$  is phenyl,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen  $\text{R}^1$  is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier.

72. A pharmaceutical composition comprising a compound of Formula (I):

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(I)

5 wherein:

R<sup>1</sup> is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup>;

- $R^a$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;
- $R^b$  is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-S$ -aryl of 6, 10 or 14 carbon atoms,  $-S$ -alkyl,  $-S$ -alkenyl,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl,  $-SO_2$ alkyl,  $-O$ -aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

$R^a R^b$  together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms, in which optionally, at least one  $-CH_2-$  may optionally be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

$R^2$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

$R^3$  is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy,  $-NR^c R^d$ , benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or  $-N_3$ ;

$R^c$  is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to

10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

5  $R^d$  is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

15  $R^cR^d$  together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or alkyl of 1 to 12 carbon atoms;

20  $R^4$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or  $-CF_3$ ;

25 provided that when: a)  $R^1$  is diethylamino,  $R^3$  is chloro,  $R^4$  is hydrogen,  $R^2$  is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b)  $R^1$  is diethylamino,  $R^3$  is bromo,  $R^4$  is hydrogen,  $R^2$  is not 4-trifluoromethylphenyl; c)  $R^1$  is isopropylamino,  $R^3$  is chloro,  $R^4$  is hydrogen,  $R^2$  is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d)  $R^1$  is

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5 cyclopentylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R<sup>1</sup> is 2-amino-bicyclo(2.2.1.)heptyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 3,4,5-trimethoxyphenyl and f) R<sup>1</sup> is diethylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 4-trifluoromethylphenyl and g) R<sup>1</sup> is 1,1,1-trifluoroethoxy, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-chloro-6-fluorophenyl h) R<sup>1</sup> is -SO<sub>2</sub>ethyl or -SO<sub>2</sub>cyclopentyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-chloro-6-fluorophenyl; i) R<sup>4</sup> is hydrogen, R<sup>2</sup> is 2-chloro-6-fluorophenyl, R<sup>1</sup> and R<sup>3</sup> are not 1,2,4-triazole; j) R<sup>1</sup> is cyclohexyl, R<sup>4</sup> is hydrogen, R<sup>2</sup> is 2,4,6-trifluorophenyl, and R<sup>3</sup> is not -OCH<sub>2</sub>O<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>; k) R<sup>1</sup> is 2-thienyl, R<sup>4</sup> is ethyl, R<sup>3</sup> is hydrogen and R<sup>2</sup> is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R<sup>2</sup> is phenyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen R<sup>1</sup> is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier.

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73. A method for the treatment or prevention of multiple drug resistance (MDR) in a mammal in need thereof which method comprises administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.

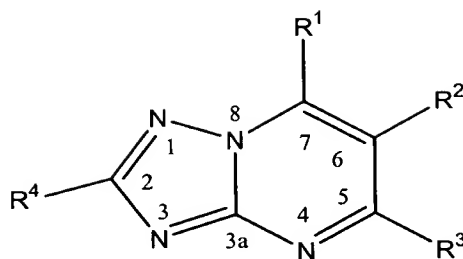
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74. The method of claim 73 wherein the multiple drug resistance (MDR) is mediated by p-glycoprotein or MXR.

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75. The method according to Claim 73 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:

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(I)

wherein:

R<sup>1</sup> is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup>;

R<sup>a</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon





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R<sup>2</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

10 R<sup>3</sup> is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR<sup>c</sup>R<sup>d</sup>, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N<sub>3</sub>;

15 R<sup>c</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 20 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

25 R<sup>d</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted

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cycloalkyl of 3 to 10 carbon atoms, in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

10  $\text{R}^c\text{R}^d$  together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one  $-\text{CH}_2-$  may also be replaced by  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{NR}'$  where  $\text{R}'$  is H or alkyl of 1 to 12 carbon atoms;

15  $\text{R}^4$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or  $-\text{CF}_3$ ;

20 provided that when: a)  $\text{R}^1$  is diethylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b)  $\text{R}^1$  is diethylamino,  $\text{R}^3$  is bromo,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 4-trifluoromethylphenyl; c)  $\text{R}^1$  is isopropylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d)  $\text{R}^1$  is cyclopentylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e)  $\text{R}^1$  is 2-amino-bicyclo(2.2.1.)heptyl,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 3,4,5-trimethoxyphenyl and f)  $\text{R}^1$  is diethylamino,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 4-trifluoromethylphenyl and g)  $\text{R}^1$  is 1,1,1-trifluoroethoxy,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 2-chloro-6-fluorophenyl h)  $\text{R}^1$  is  $-\text{SO}_2$ ethyl or  $-\text{SO}_2$ cyclopentyl,  $\text{R}^3$  is chloro,  $\text{R}^4$  is hydrogen,  $\text{R}^2$  is not 2-chloro-6-

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fluorophenyl; i) R<sup>4</sup> is hydrogen, R<sup>2</sup> is 2-chloro-6-fluorophenyl, R<sup>1</sup> and R<sup>3</sup> are not 1,2,4-triazole; j) R<sup>1</sup> is cyclohexyl, R<sup>4</sup> is hydrogen, R<sup>2</sup> is 2,4,6-trifluorophenyl, and R<sup>3</sup> is not -OCH<sub>2</sub>O<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>; k) R<sup>1</sup> is 2-thienyl, R<sup>4</sup> is ethyl, R<sup>3</sup> is hydrogen and R<sup>2</sup> is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R<sup>2</sup> is phenyl, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen R<sup>1</sup> is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof.

76. The method according to claim 75 wherein  
R<sup>1</sup> is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup> or a pharmaceutically acceptable salt thereof is administered.

77. The method according to claim 75 wherein R<sup>a</sup> and R<sup>b</sup> each independently represent the moiety -C\*H(R<sup>e</sup>)(R<sup>f</sup>) where R<sup>e</sup> and R<sup>f</sup> independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C\* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.

78. The method according to claim 75 wherein R<sup>2</sup> is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or halogen or a pharmaceutically acceptable salt thereof is administered.

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5 79. The method according to claim 75 wherein R<sup>3</sup> is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR<sup>c</sup>R<sup>d</sup>, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N<sub>3</sub> or a pharmaceutically acceptable  
10 salt thereof is administered.

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15 80. The method according to claim 75 wherein R<sup>4</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF<sub>3</sub> or a pharmaceutically acceptable salt thereof is administered.

20 81. The method according to claim 75 wherein R<sup>1</sup> is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted  
25 cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon  
30 atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein

R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

82. The method according to claim 75 wherein R<sup>2</sup> is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocyclyl or a pharmaceutically acceptable salt thereof is administered.

83. The method according to claim 75 wherein R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N<sub>3</sub> or a pharmaceutically acceptable salt thereof is administered.

84. The method according to claim 75 wherein R<sup>4</sup> is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF<sub>3</sub> or a pharmaceutically acceptable salt thereof is administered.

85. The method according to claim 75 wherein R<sup>1</sup> is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 5 to 10 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

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86. The method according to claim 75 wherein R<sup>2</sup> is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

5 87. The method according to claim 75 wherein R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub> or a pharmaceutically acceptable salt thereof is administered.

10 88. The method according to claim 75 wherein R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

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15 89. The method according to claim 75 wherein R<sup>1</sup> is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached; R<sup>2</sup> is optionally substituted phenyl; R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>; R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

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30 90. The method according to claim 75 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached; R<sup>2</sup> is optionally substituted phenyl; R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to

12 carbon atoms, cyano, or  $-N_3$ ;  $R^4$  is H or a pharmaceutically acceptable salt thereof is administered.

91. The method according to claim 75 wherein  $R^1$  is the moiety  $-NR^aR^b$  wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached;

$R^2$  is optionally substituted phenyl;

$R^3$  is halogen, alkoxy,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$ ;

$R^4$  is H;

$R^a$  is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where

$R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl;  $R^b$  is H, an

optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one  $-CH_2-$  may also be replaced by  $-O-$ ,  $-S-$ , or  $-NR'$  where  $R'$  is H or an alkyl group of 1 to 12 carbon atoms,  $-S$ -aryl of 6, 10 or 14 carbon atoms,  $-S$ -alkyl of 1 to 12 carbon atoms,  $-S$ -alkenyl of 2 to 12 carbon atoms,  $-SO_2$ aryl of 6, 10 or 14 carbon atoms,  $-SO_2$ cycloalkyl of 3 to 8 carbon atoms,  $-SO_2$ alkyl of 1 to 12 carbon atoms,  $-O$ -aryl of 6, 10 or 14 carbon atoms;

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5 R<sup>a</sup>R<sup>b</sup> together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 2 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

10 R<sup>c</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

20 R<sup>d</sup> is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

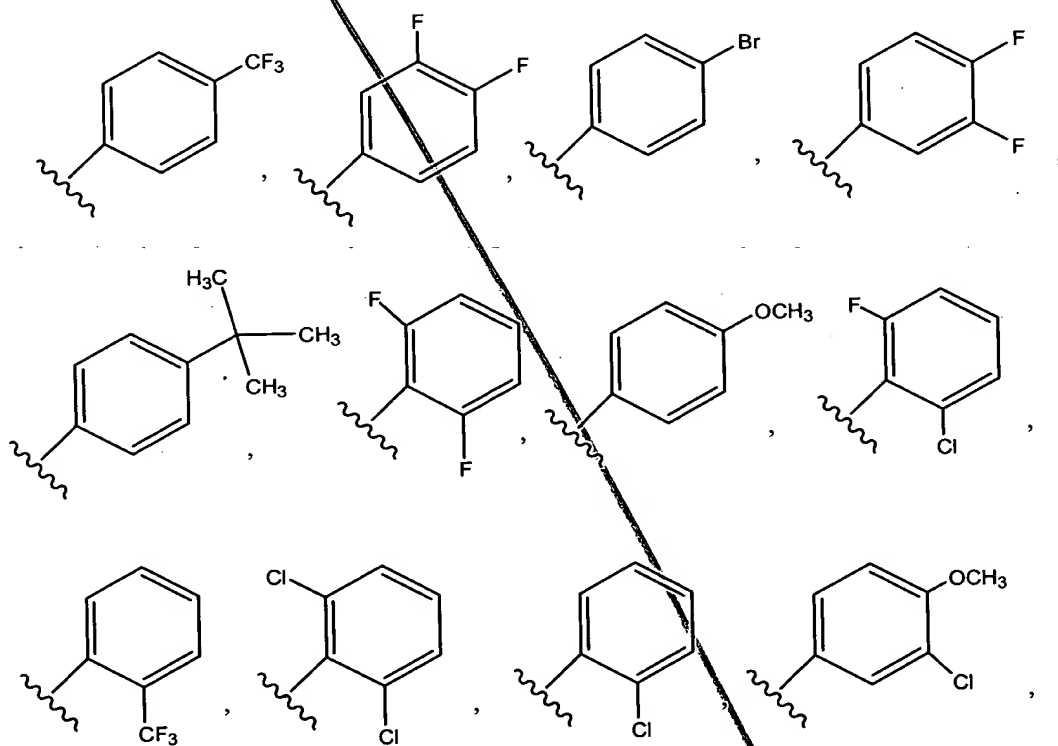
30 R<sup>e</sup>R<sup>d</sup> together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR'



where R<sup>1</sup> is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

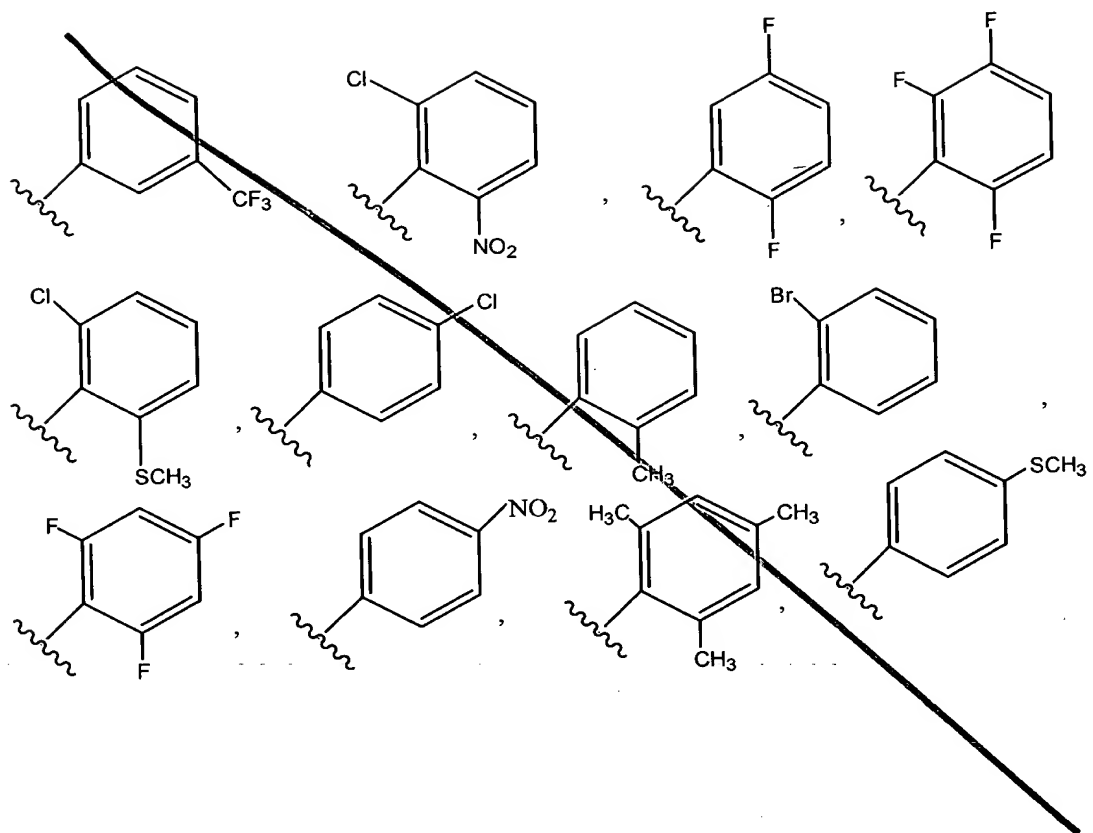
92. The method according to claim 75 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached;

R<sup>2</sup> is selected from



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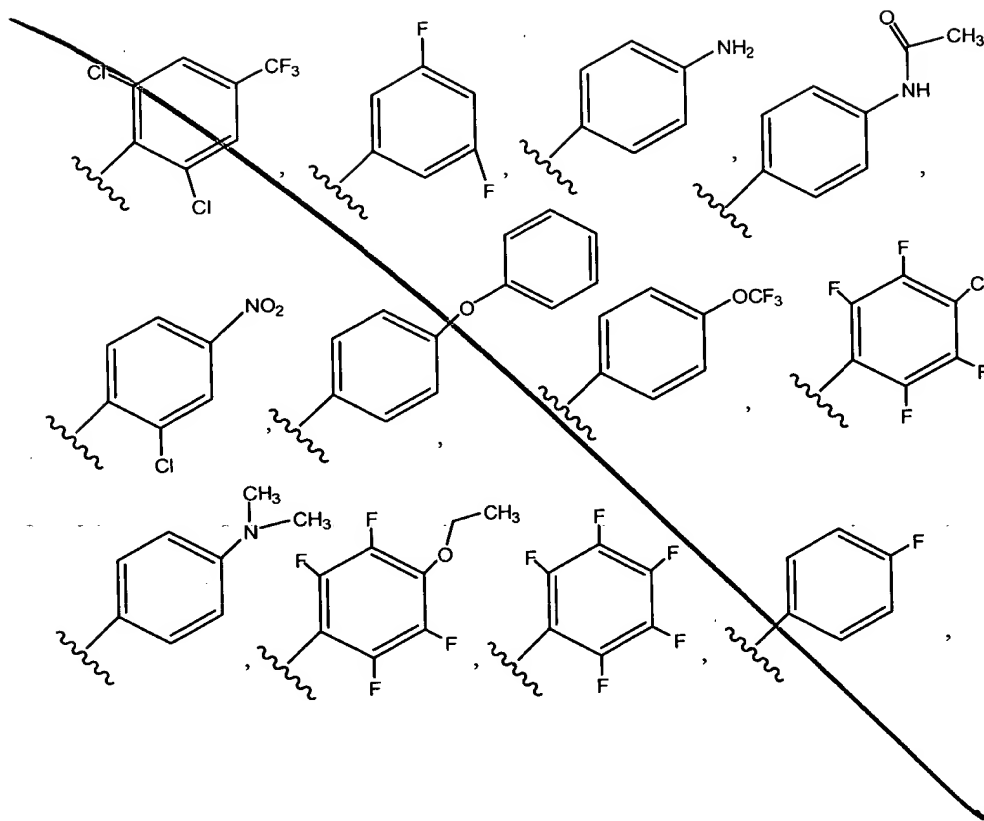
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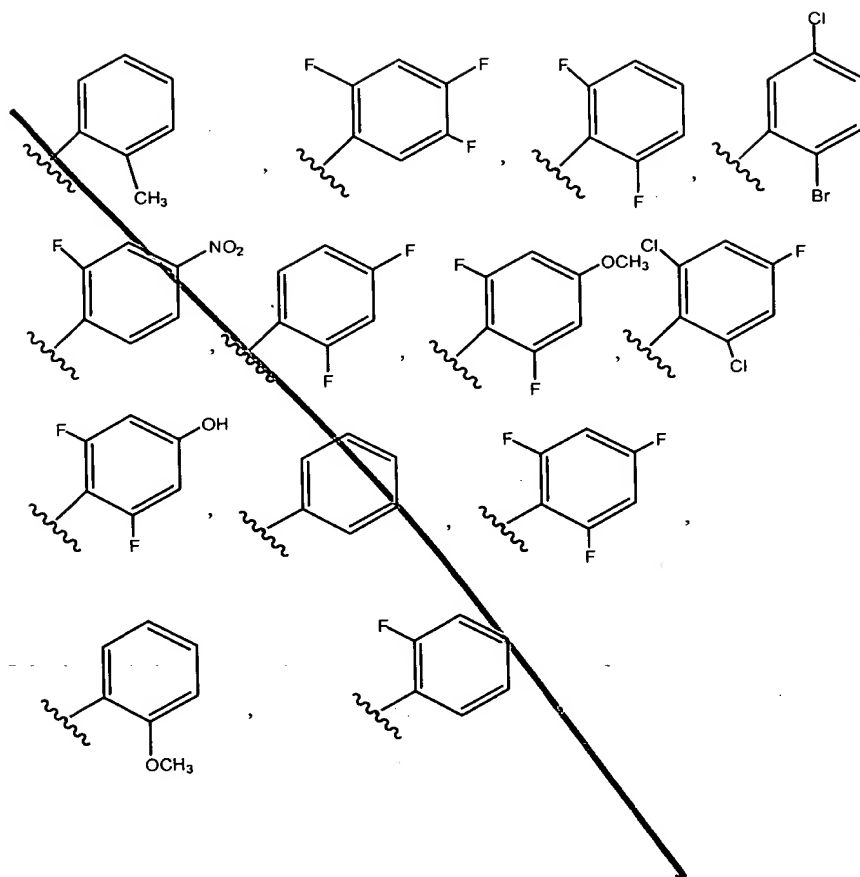
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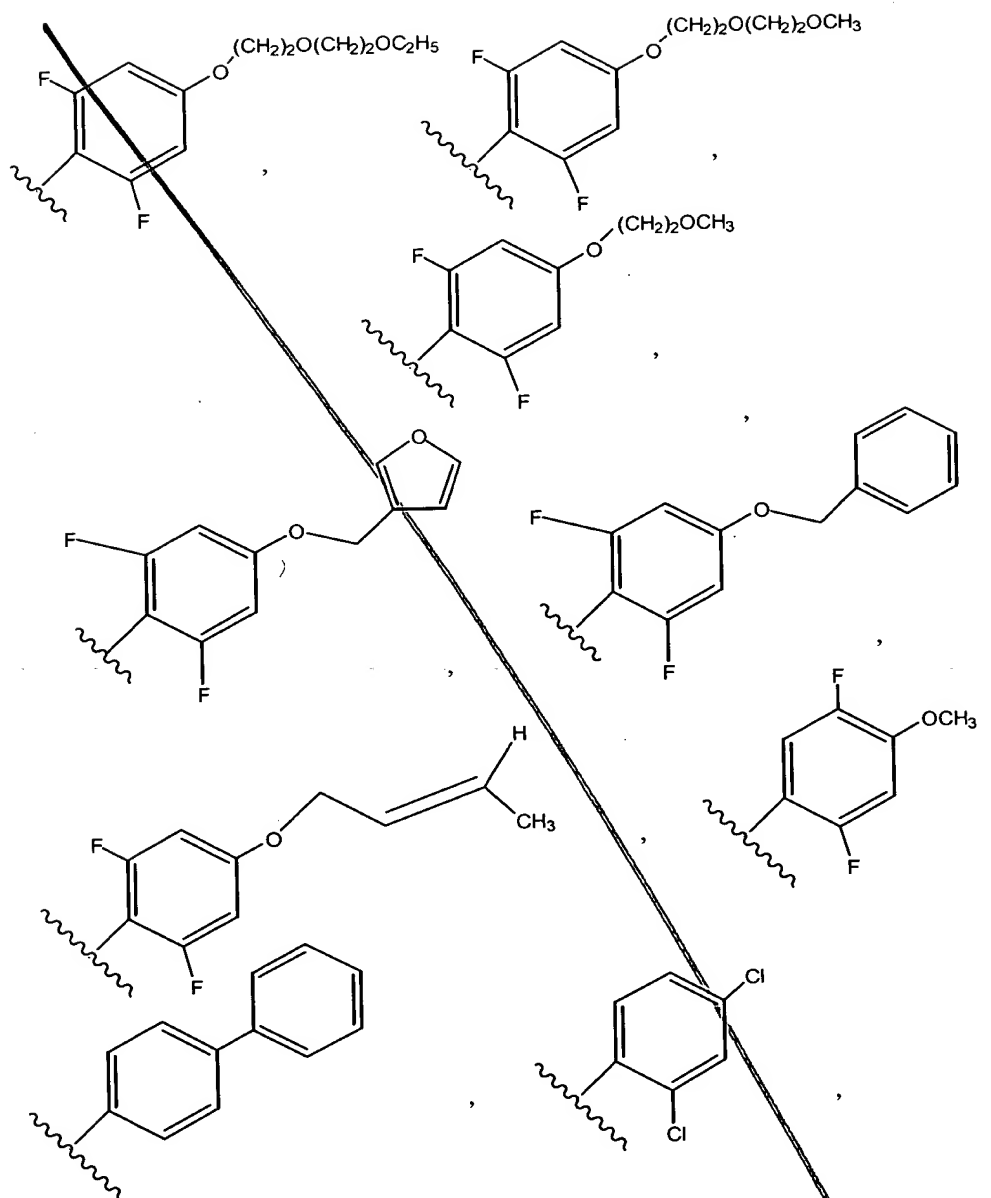
A<sup>3</sup>  
cont



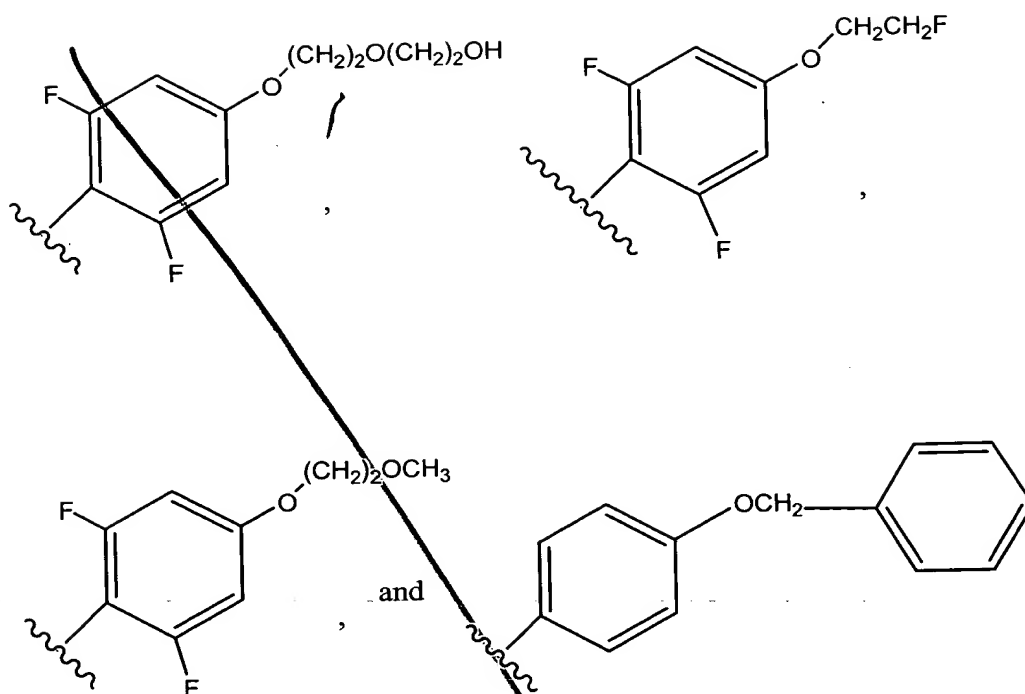
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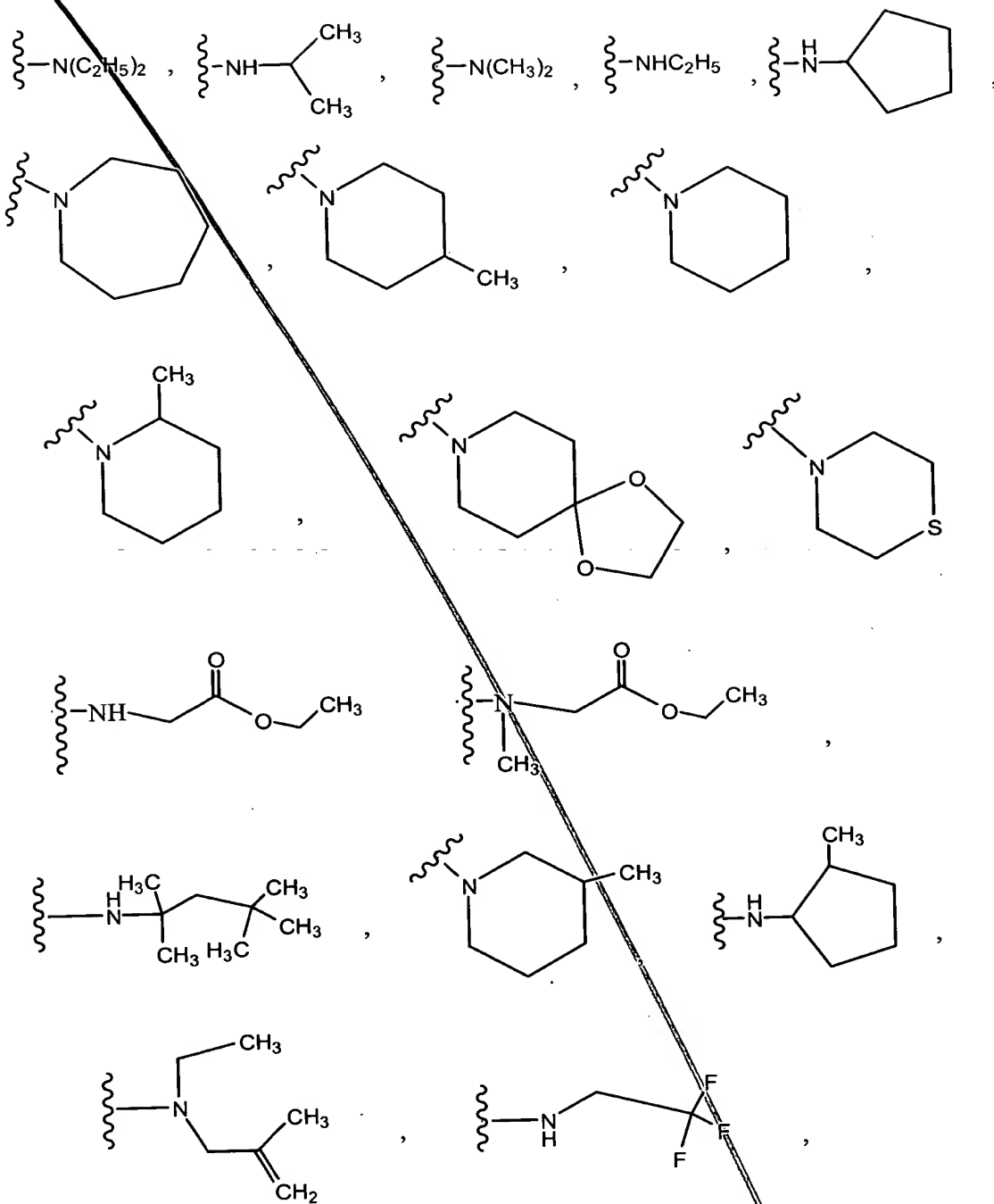
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- 5  $R^3$  is halogen, alkoxy,  $-NR^cR^d$ , haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or  $-N_3$ ;  
 $R^4$  is H or a pharmaceutically acceptable salt thereof is administered.

93. The method according to claim 75 wherein  $R^1$  is the moiety  $-NR^aR^b$   
 10 wherein  $R^aR^b$  are optionally taken together with the nitrogen to which each is attached and wherein  $R^1$  is selected from

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cont

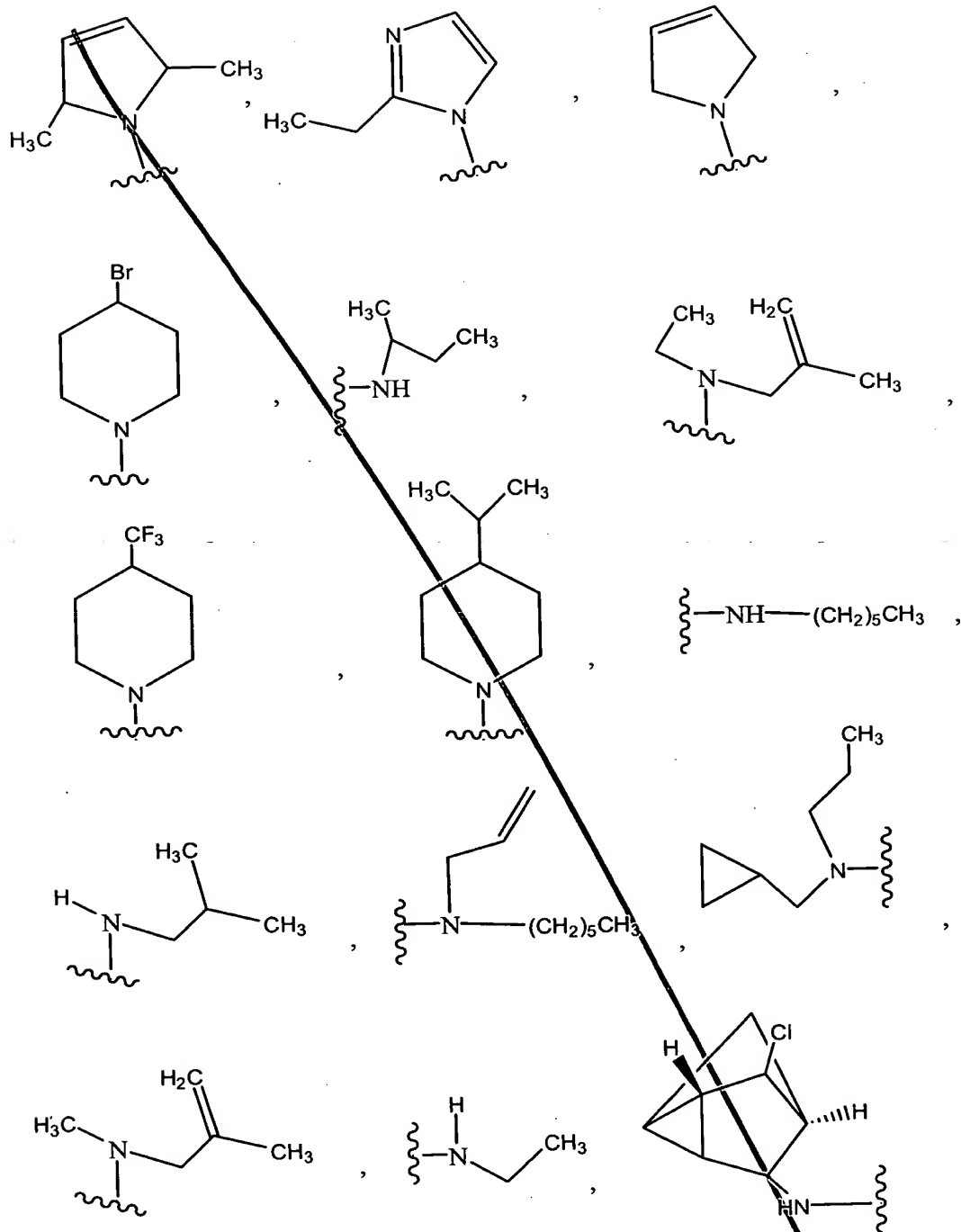




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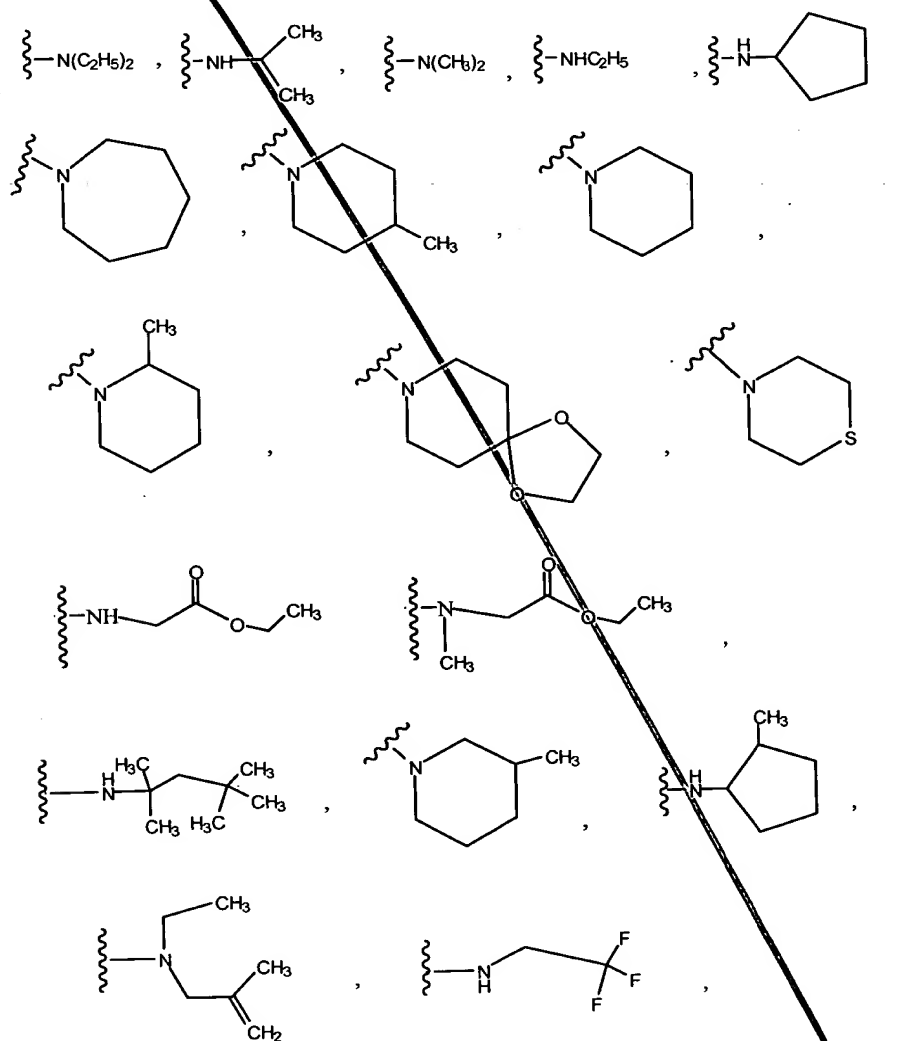
B<sup>3</sup>  
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R<sup>2</sup> is optionally substituted phenyl;

R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>;

R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

94. The method according to claim 75 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup>R<sup>b</sup> are optionally taken together with the nitrogen to which each is attached and wherein R<sup>1</sup> is selected from

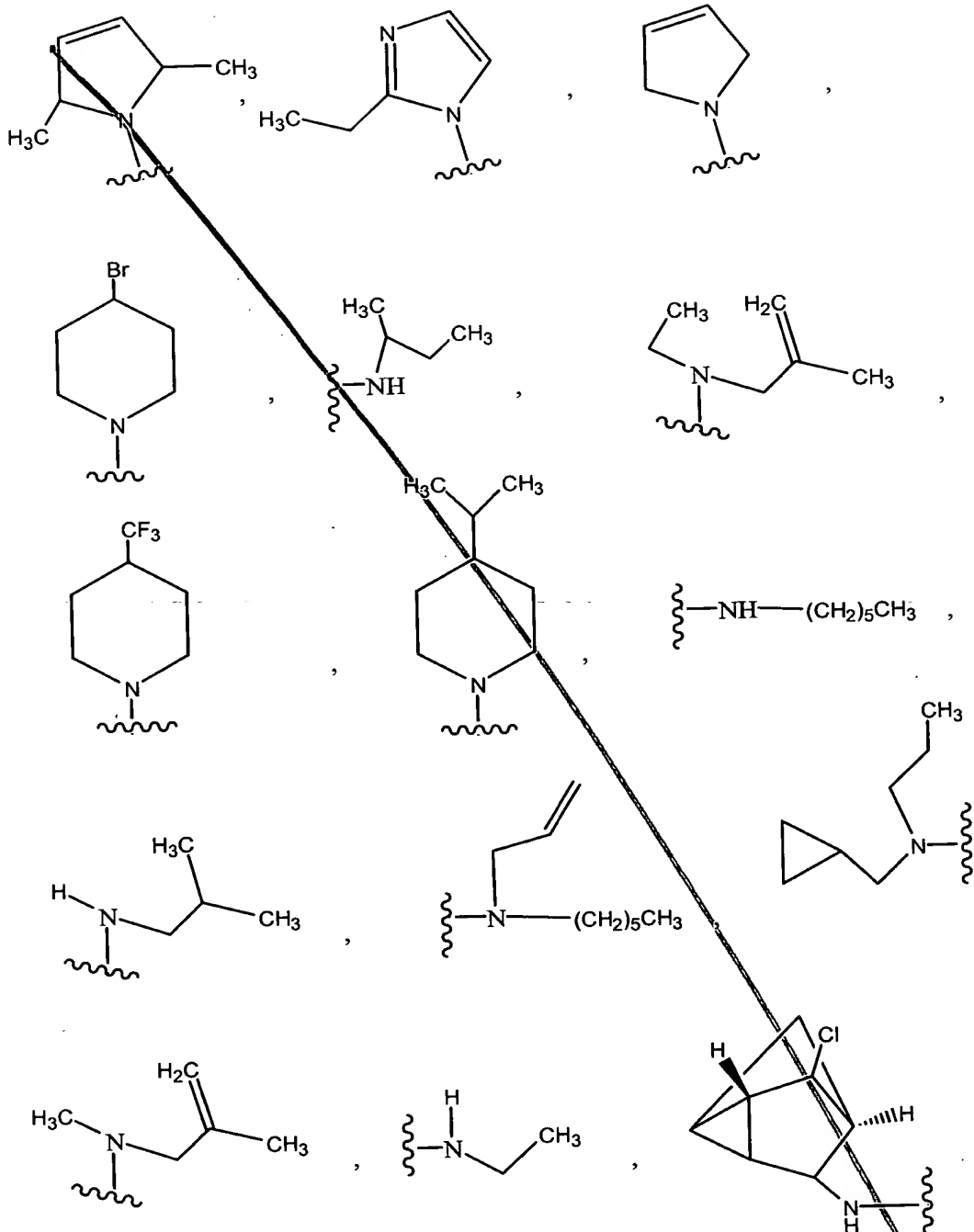


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~~R<sup>2</sup> is optionally substituted thienyl;~~

~~R<sup>3</sup> is halogen, alkoxy of 1 to 12 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N<sub>3</sub>;~~

~~R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.~~

95. The method according to claim 75 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;  
5-chloro-7-(1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

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7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2-methyl-1-piperidiny)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

7-(azepanyl)-5-chloro-6-(2-chloro-6-nitrophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidiny)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-  
pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-  
piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-  
yl]methanol;

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-  
piperidinol;

15 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-  
a]pyrimidine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-  
a]pyrimidine;

20 5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-  
piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-  
25 fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-  
yl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-  
yl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-7-(4-chloro-1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidiny][1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(4-bromo-1-piperidiny)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-(4-nitrophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(1-azepanyl)-5-chloro-6-(4-nitrophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;



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- 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 (methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
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cont<sup>5</sup>

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;

30 N-{4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;

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[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;

10 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15

5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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cont

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- 4-[5-chloro-2-methyl-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;
- 5 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidiny)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfany)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;
- diethyl 2-allyl-2-{{5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}oxy}malonate;
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6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidiny)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;



7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-(2-fluoro-4-nitrophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-fluoro-4-nitrophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

25 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;

2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl)-3,5-difluoro-phenol;

5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;

5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;

20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

~~5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

~~5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine:~~

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1,4-dioxo-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

~~5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;~~

5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;



5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 [5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)-[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

15 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

20 diethyl 2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy]-2-isobutylmalonate;

25 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

30 5-chloro-7-(3-nitro-4-methylanilino)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-1-diethyl-1,4-pentanediamine;

5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(3-tricyclo[2.2.1.0<sup>2,6</sup>]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

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~~2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.~~

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